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## Inventor Name Search Result

Your Search was:

Last Name = BISCHOFF
First Name = ERWIN

| Application# | Patent# | Status | Date Filed | Title | Inventor Name |
|---|---|---|---|---|---|
| 10365740 | Not Issued | 020 | 02/12/2003 | 2-PHENYL SUBSTITUTED IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS | BISCHOI ERWIN |
| 10251939 | Not Issued | 041 | 09/20/2002 | 7-ALKYL-AND CYCLOALKYL-SUBSTITUTED IMIDAZOTRIAZINONES | BISCHOI ERWIN |
| 10220560 | Not Issued | 020 | 02/06/2003 | NOVEL IMIDAZOTRIAZINONES AND THE USE THEREOF | BISCHOI ERWIN |
| 10168194 | Not Issued | 030 | 11/04/2002 | NOVEL IMIDAZO[1,3,5]TRIAZINONES AND THE USE THEREOF | BISCHOI ERWIN |
| 10149921 | Not Issued | 041 | 10/21/2002 | TRIAZOLOTRIAZINONES AND THE USE THEREOF | BISCHOI ERWIN |
| 10149659 | Not Issued | 030 | 10/22/2002 | ISOXAZOLO PYRIMIDINONES AND THE USE THEREOF | BISCHOI ERWIN |
| 10070963 | Not Issued | 030 | 06/28/2002 | NOVEL COMBINATION FOR THE TREATMENT OF SEXUAL DYSFUNCTION | BISCHOI ERWIN |
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | BISCHOI ERWIN |
| 09943530 | 6566360 | 150 | 08/30/2001 | 2-PHENYL SUBSTITUTED IMIDATRIAZINONES AS PHOSPHODIESTERASE INHIBITORS | BISCHOI ERWIN |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | BISCHOI ERWIN |
| 09763808 | 6458796 | 150 | 02/26/2001 | DIHYDRO-[1,2,3]TRIAZOLO-[4,5-D] PYRIMIDIN-7-ONE | BISCHOI ERWIN |
| 09720051 | 6476029 | 150 | 03/23/2001 | 7- ALKYL- AND CYCLOALKYL-SUBSTITUTED IMIDAZOTRIAZINONES | BISCHOI ERWIN |
| 09554162 | 6362178 | 150 | 07/21/2000 | 2-PHENYL SUBSTITUTED | BISCHOI |

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| | | | | IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS | ERWIN |
| 09367538 | 6174884 | 150 | 08/16/1999 | 1,5-DIHYDRO-PYRAZOLO[34-D]-PYRIMIDINONE DERIVATIVES | BISCHOI ERWIN |
| 09267322 | 6291515 | 150 | 03/12/1999 | USE OF EFOMYCINS | BISCHOI ERWIN |
| 09207734 | Not Issued | 161 | 12/08/1998 | 9-SUBSTITUTED 2-(2-N-ALKOXYPHENYL)-PURIN-6-ONES | BISCHOI ERWIN |
| 09164831 | Not Issued | 161 | 10/01/1998 | 2,9-DISUBSTITUTED PURIN-6-ONES | BISCHOI ERWIN |
| 09164011 | Not Issued | 161 | 09/30/1998 | PURIN-6-ONE DERIVATIVES | BISCHOI ERWIN |
| 08739742 | 5861396 | 150 | 10/30/1996 | PURIN-6-ONE DERIVATIVES | BISCHOI ERWIN |
| 08728106 | 5821222 | 150 | 10/09/1996 | CYCLIC DEPSIPEPTIDES HAVING 18 RING ATOMS FOR COMBATING ENDOPARASITES | BISCHOI ERWIN |
| 08681073 | Not Issued | 164 | 07/22/1996 | DEOXYCYCLITOL DERIVATIVES USEFUL FOR TREATING INFLAMMATION | BISCHOI ERWIN |
| 08587321 | 5861404 | 250 | 01/12/1996 | 2,9-DISUBSTITUTED PURIN-6-ONES | BISCHOI ERWIN |
| 08585996 | 5866571 | 150 | 01/16/1996 | 9-SUBSTITUTED 2-(2-N-ALKOXYPHENYL)-PURIN-6-ONES | BISCHOI ERWIN |
| 08584865 | 5721238 | 250 | 01/11/1996 | 2,8-DISUBSTITUTED QUINAZOLINONES | BISCHOI ERWIN |
| 08446802 | Not Issued | 161 | 06/01/1995 | NOVEL ACYCLIC, SULPHUR-CONTAINING PEPTIDES | BISCHOI ERWIN |
| 08397208 | 5565561 | 150 | 04/27/1995 | NATURAL SUBSTANCE CYCLAMENOL AND CHEMICAL DERIVATIVES | BISCHOI ERWIN |
| 08372090 | 5463087 | 150 | 01/13/1995 | SUBSTITUTED DERIVATIVES OF DEOXYMYOINOSITOL, PROCESS FOR THEIR PREPARATION AND THEIR USE IN MEDICAMENTS | BISCHOI ERWIN |
| 08353409 | 5624897 | 150 | 12/09/1994 | NEW CYCLIC DEPSIPEPTIDES HAVING 18 RING ATOMS, AND THEIR USE FOR COMBATING ENDOPARASITES | BISCHOI ERWIN |
| 08351931 | Not Issued | 166 | 12/12/1994 | DEOXYCYCLITOL DERIVATIVES USEFUL FOR TREATMENT IMFLAMMATION | BISCHOI ERWIN |
| 08343517 | Not Issued | 166 | 12/05/1994 | CYCLIC DEPSIPEPTIDES HAVING 18 RING ATOMS FOR COMBATING ENDOPARASITES, NEW CYCLIC DEPSIPETIDES HAVING 18 RING | BISCHOI ERWIN |

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|---|---|---|---|---|---|
| | | | | ATOMS, AND PROCESSES FOR THEIR PREPARATION | |
| 08270862 | Not Issued | 160 | 07/05/1994 | ? | BISCHOI ERWIN |
| 08106156 | 5407923 | 150 | 08/12/1993 | SUBSTITUTED DERIVATIVES OF DEOXYMYOINOSITOL, PROCESS FOR THEIR PREPARATION AND THEIR USE IN MEDICAMENTS | BISCHOI ERWIN |
| 08105545 | Not Issued | 164 | 08/12/1993 | DEOXYCYCLITOL DERIVATIVES AND THEIR USE IN MEDICAMENTS | BISCHOI ERWIN |
| 08042857 | 5374647 | 150 | 04/05/1993 | ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO (B) DIHYDROINDOLE SULPHONAMIDES | BISCHOI ERWIN |
| 07887208 | 5185348 | 150 | 05/21/1992 | PHENYLSULPHONAMIDE SUBSTITUTED PYRIDINEALKENE-AND -AMINO- OXYALKANECARBOXYLIC ACID DERIVATIVES | BISCHOI ERWIN |
| 07798386 | 5190971 | 150 | 11/26/1991 | SUBSTITUTED DIBENZ-OXA-THIOCINONES, -12-OXIDES AND -12,12-DIOXIDES, A PROCESS FOR THEIR PREPARATION AND THEIR USE IN MEDICAMENTS | BISCHOI ERWIN |
| 07786478 | Not Issued | 166 | 11/01/1991 | ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO (B)DIHYDROINDOLE-AND -INDOLE- SULPHONAMIDES | BISCHOI ERWIN |
| 07763032 | Not Issued | 161 | 09/20/1991 | CIRCULATION-ACTIVE DIBENZO[1,5] DIOXOCIN-5-ONES | BISCHOI ERWIN |
| 07749018 | 5223517 | 150 | 08/23/1991 | HETEROCYCLICALLY SUBSTITUTED CYCLOALKANO/B/-INDOLESULPHONAMIDES | BISCHOI ERWIN |
| 07739747 | 5155121 | 150 | 08/02/1991 | PHENYLSULPHONAMIDE SUBSTITUTED PYRIDINEALKENE- AND -AMINOOXYALKANECARBOXYLIC ACID DERIVATIVES | BISCHOI ERWIN |
| 07709902 | 5185326 | 150 | 06/03/1991 | EFOMYCINS A, E AND G AS ANTIINFLAMMATORY AGENTS | BISCHOI ERWIN |
| 07679710 | 5204374 | 150 | 04/03/1991 | CYCLOALKANO(B)DIHYDROINDOLES AND -INDOLESULPHONAMIDES SUBSTITUTED BY HETEROCYCLES | BISCHOI ERWIN |
| 07678563 | 5096897 | 150 | 03/28/1991 | ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO(B)DIHYDROINDOLE-AND -INDOLE- SULPHONAMIDES | BISCHOI ERWIN |
| 07599321 | 5039670 | 150 | 10/17/1990 | ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO(B)DIHYDROINDOLE-AND -INDOLE- SULPHONAMIDES AND | BISCHOI ERWIN |

| | | | | | |
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| | | | | USE | |
| 07528667 | 5089487 | 150 | 05/24/1990 | CIRCULATION-ACTIVE DIBENZO(1,5) DIOXOCIN-5-ONES | BISCHOI ERWIN |
| 07089390 | 4770876 | 150 | 08/25/1987 | MICROBIOLOGICAL PRODUCTION OF LIVESTOCK GROWTH-PROMOTING AGENT | BISCHOI ERWIN |
| 07022915 | 4927810 | 150 | 03/06/1987 | EFOMYCIN G AND IT'S USE AS YIELD PROMOTER IN ANIMALS | BISCHOI ERWIN |
| 06840638 | 5073369 | 150 | 03/17/1986 | EFOMYCINS AS PERFORMANCE PROMOTERS IN ANIMALS | BISCHOI ERWIN |
| 06802776 | 4670260 | 250 | 11/27/1985 | ANTIBIOTIC FOR ANIMAL FEEDS | BISCHOI ERWIN |
| 06435840 | Not Issued | 164 | 10/22/1982 | FORMYCIN A AND/OR B AS , ARTHROPODICAL AGENTS | BISCHOI ERWIN |

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## Inventor Name Search Result

Your Search was:

Last Name = LENSKY
First Name = STEPHAN

| Application# | Patent# | Status | Date Filed | Title | Inventor Name |
|---|---|---|---|---|---|
| 09980243 | Not Issued | 041 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT | LENSKY, STEPHAN |
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | LENSKY, STEPHAN |
| 09720024 | 6344471 | 150 | 02/27/2001 | 2-AMINOCARBONYL-5(2H)-ISOXAZOLONES AS LIGANDS OF A DFP-BINDING SITE TREATMENT OF CNS-DISEASES | LENSKY, STEPHAN |
| 09171394 | Not Issued | 161 | 10/16/1998 | USE OF PHOSPHONIC ACID ESTERS FOR THE TREATMENT OF FUNCTIONAL DISORDERS OF THE BRAIN AND DEPRESSION | LENSKY , STEPHAN |

Inventor Search Completed: No Records to Display.

**Search Another: Inventor** Last Name: LENSKY First Name: STEPHAN Search

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## Inventor Name Search Result

Your Search was:

Last Name = MULLER
First Name = STEPHAN-NICHOLAS

| Application# | Patent# | Status | Date Filed | Title | Inventor Name |
|---|---|---|---|---|---|
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | MULLER STEPHAN NICHOLA |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | MULLER STEPHAN NICHOLA |

Inventor Search Completed: No Records to Display.

**Search Another: Inventor** **Last Name** MULLER **First Name** STEPHAN-NICHOLAS Search

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## Inventor Name Search Result

Your Search was:

Last Name = PAULSEN
First Name = HOLGER

| Application# | Patent# | Status | Date Filed | Title | Inventor Name |
|---|---|---|---|---|---|
| 60126434 | Not Issued | 159 | 12/22/1997 | INHIBITION OF P38 KINASE ACTIVITY USING SUBSTITUTED HETEROCYCLIC AREAS | PAULSE HOLGER |
| 09980243 | Not Issued | 041 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT | PAULSE HOLGER |
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | PAULSE HOLGER |
| 09947761 | Not Issued | 071 | 09/07/2001 | INHIBITION OF P38 KINASE ACTIVITY BY ARYL UREAS | PAULSE HOLGER |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | PAULSE HOLGER |
| 09640780 | Not Issued | 120 | 08/18/2000 | INHIBITION OF RAF KINASE USING SUBSTITUTED HETEROCYCLIC UREAS | PAULSE HOLGER |
| 09521648 | 6207671 | 150 | 03/08/2000 | CYCLOALKANO-PYRIDINES | PAULSE HOLGER |
| 09508958 | 6586613 | 150 | 03/17/2000 | SUBSTITUTED TETRAHYDRONAPHTHALINE AND ANALOGOUS COMPOUNDS | PAULSE HOLGER |
| 09458014 | Not Issued | 093 | 12/10/1999 | INHIBITION OF P38 KINASE ACTIVITY USING SUBSTITUTED HETEROCYCLIC UREAS | PAULSE HOLGER |
| 09285521 | Not Issued | 160 | 12/22/1998 | INHIBITION OF P38 KINASE ACTIVITY USING SUBSTITUTED HETEROCYCLIC UREAS | PAULSE HOLGER |
| 09083396 | 6344476 | 150 | 05/22/1998 | INHIBITION OF P38 KINASE ACTIVITY BY ARYL UREAS | PAULSE HOLGER |
| 08995750 | Not | 157 | 12/22/1997 | INHIBITION OF P38 KINASE ACTIVITY | PAULSE |

| | | | | | |
|---|---|---|---|---|---|
| | Issued | | | USING SUBSTITUTED HETEROCYCLIC AREAS | HOLGER |
| 08889530 | 6069148 | 150 | 07/08/1997 | CYCLOALKANO-PYRIDINES | PAULSE HOLGER |

# Inventor Search Completed: No Records to Display.

**Search Another: Inventor** **Last Name** PAULSEN **First Name** HOLGER Search

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## Inventor Name Search Result

Your Search was:

Last Name = KELDENICH
First Name = JORG

| Application# | Patent# | Status | Date Filed | Title | Inventor Name |
|---|---|---|---|---|---|
| 60172225 | Not Issued | 159 | 12/16/1998 | BIPHENYL COMPOUNDS AS INTEGRIN ANTAGONISTS | KELDENICH , JORG |
| 10365740 | Not Issued | 020 | 02/12/2003 | 2-PHENYL SUBSTITUTED IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS | KELDENICH, JORG |
| 10285073 | Not Issued | 020 | 10/31/2002 | NEW BIPHENYL AND BIPHENYL-ANALOGOUS COMPOUNDS AS INTEGRIN ANTAGONISTS | KELDENICH, JORG |
| 10225823 | Not Issued | 041 | 08/21/2002 | NOVEL ARYLSULPHONAMIDES AND ANALOGUES | KELDENICH, JORG |
| 10221919 | Not Issued | 020 | 03/10/2003 | MEDICAMENTS AGAINST VIRAL DISEASES | KELDENICH, JORG |
| 10168197 | Not Issued | 020 | 11/12/2002 | THIAZOLYL AMIDE DERIVATIVES | KELDENICH, JORG |
| 09980243 | Not Issued | 041 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT | KELDENICH, JORG |
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | KELDENICH, JORG |
| 09943530 | 6566360 | 150 | 08/30/2001 | 2-PHENYL SUBSTITUTED IMIDATRIAZINONES AS PHOSPHODIESTERASE INHIBITORS | KELDENICH, JORG |
| 09943106 | Not | 041 | 08/30/2001 | UNCOMPETITIVE INHIBITORS | KELDENICH, |

| | | | | | |
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| | Issued | | | OF HELICASE-PRIMASE | JORG |
| 09918994 | Not Issued | 164 | 07/31/2001 | INVERSE THIAZOLYLAMIDE DERIVATIVES | KELDENICH, JORG |
| 09914554 | 6500817 | 150 | 08/31/2001 | THIAZOLYL UREA DERIVATIVES AND THEIR UTILIZATION AS ANTIVIRAL AGENTS | KELDENICH, JORG |
| 09889455 | Not Issued | 041 | 01/09/2002 | BETA-PHENYLALANINE DERIVATIVES AS INTEGRIN ANTAGONISTS | KELDENICH, JORG |
| 09878392 | 6573278 | 150 | 06/11/2001 | ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES | KELDENICH, JORG |
| 09868305 | Not Issued | 071 | 08/20/2001 | BIPHENYL AND BIPHENYL-ANALOGOUS COMPOUNDS AS INTEGRIN ANTAGONISTS | KELDENICH, JORG |
| 09857981 | 6495545 | 150 | 06/12/2001 | 1,4-BENZODIAZEPINONE DERIVATIVES AND THEIR USE AS INTEGRIN ANTAGONISTS | KELDENICH, JORG |
| 09828514 | Not Issued | 061 | 04/06/2001 | BIPHENYL AND BIPHENYL-ANALOGOUS COMPOUNDS AS INTEGRIN ANTAGONISTS | KELDENICH, JORG |
| 09763215 | 6469054 | 150 | 02/16/2001 | NOVEL ARYL SULPHONAMIDES AND ANALOGUES | KELDENICH, JORG |
| 09763196 | 6545050 | 150 | 02/16/2001 | NOVEL ARYL SULPHONAMIDE AMINO ACID ESTERS AND ANALOGUES | KELDENICH, JORG |
| 09719320 | Not Issued | 071 | 03/05/2001 | USE OF SUBSTITUTED 4-BIARYLBUTYRIC AND 5-BIARYLPENTANOIC ACID DERIVATIVES FOR THE TREATMENT OF CEREBRAL DISEASES | KELDENICH, JORG |
| 09554162 | 6362178 | 150 | 07/21/2000 | 2-PHENYL SUBSTITUTED IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS | KELDENICH, JORG |
| 09464237 | 6420396 | 150 | 12/15/1999 | 2-MESITYLSULFONYLAMINO-3-{3'[(PYRIDINYLAMINO) METHYL][1,1-BIPHENYL]} PROPANOIC ACID AND METHOD OF TREATING | KELDENICH, JORG |

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|---|---|---|---|---|---|
| 09367538 | 6174884 | 150 | 08/16/1999 | 1,5-DIHYDRO-PYRAZOLO[34-D]-PYRIMIDINONE DERIVATIVES | KELDENICH , JORG |
| 09367456 | 6262112 | 150 | 11/15/1999 | ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES | KELDENICH , JORG |
| 09213381 | Not Issued | 157 | 12/16/1998 | BIPHENYL COMPOUNDS AS INTEGRIN ANTAGONISTS | KELDENICH , JORG |
| 09211274 | 6339083 | 150 | 12/14/1998 | MULTIHETEROCYCLIC PHARMACEUTICALS | KELDENICH , JORG |
| 07843655 | 5192448 | 150 | 02/28/1992 | PROCESS FOR BREAKING OIL-IN-WATER EMULSIONS | KELDENICH , JORG |

Inventor Search Completed: No Records to Display.

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## Inventor Name Search Result

Your Search was:

Last Name = KRAHN
First Name = THOMAS

| Application# | Patent# | Status | Date Filed | Title | Inventor Name |
|---|---|---|---|---|---|
| 10302163 | Not Issued | 020 | 11/20/2002 | METHOD AND DEVICE FOR TAKING MEASUREMENTS OF CELLS WHICH ARE CONTAINED IN A LIQUID ENVIRONMENT | KRAHN, THOMAS |
| 10263607 | Not Issued | 030 | 10/03/2002 | MASKING OF THE BACKGROUND FLUORESCENCE AND LUMINESCENCE IN THE OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS | KRAHN, THOMAS |
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | KRAHN, THOMAS |
| 09966522 | Not Issued | 030 | 09/28/2001 | MASKING OF THE BACKGROUND FLUORESCENCE AND LUMINESCENCE IN THE OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS | KRAHN, THOMAS |
| 09966137 | Not Issued | 030 | 09/28/2001 | MASKING BACKGROUND FLUORESCENCE AND LUMINESCENCE IN OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS | KRAHN, THOMAS |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | KRAHN, THOMAS |
| 09913312 | Not Issued | 030 | 08/10/2001 | METHOD FOR FRACTIONATING DOUBLE-STRANDED NUCLEIC ACIDS IN SOLUTIONS IN ORDER TO OBTAIN SINGLE-STRANDED NUCLEIC ACIDS | KRAHN, THOMAS |
| 09906296 | Not Issued | 092 | 07/16/2001 | SUBSTITUTED AMIDOALKYL-URACILS AND THEIR USE | KRAHN, THOMAS |
| 09267322 | 6291515 | 150 | 03/12/1999 | USE OF EFOMYCINS | KRAHN, THOMAS |
| 09194099 | 6420183 | 150 | 11/20/1998 | MASKING BACKGROUND | KRAHN, |

| | | | | | |
|---|---|---|---|---|---|
| | | | | FLUORESCENCE AND LUMINESCENCE IN OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS | THOMA |

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## Inventor Name Search Result

Your Search was:

Last Name = SCHUHMACHER
First Name = JOACHIM

| Application# | Patent# | Status | Date Filed | Title | Inventor |
|---|---|---|---|---|---|
| 09980243 | Not Issued | 041 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT | SCHUHM JOACHIM |
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | SCHUHM JOACHIM |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | SCHUHM JOACHIM |
| 09878392 | 6573278 | 150 | 06/11/2001 | ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES | SCHUHM JOACHIM |
| 09867021 | 6525087 | 150 | 05/29/2001 | USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1 | SCHUHM JOACHIM |
| 09720024 | 6344471 | 150 | 02/27/2001 | 2-AMINOCARBONYL-5(2H)-ISOXAZOLONES AS LIGANDS OF A DFP-BINDING SITE TREATMENT OF CNS-DISEASES | SCHUHM JOACHIM |
| 09719320 | Not Issued | 071 | 03/05/2001 | USE OF SUBSTITUTED 4-BIARYLBUTYRIC AND 5-BIARYLPENTANOIC ACID DERIVATIVES FOR THE TREATMENT OF CEREBRAL DISEASES | SCHUHM JOACHIM |
| 09521648 | 6207671 | 150 | 03/08/2000 | CYCLOALKANO-PYRIDINES | SCHUHM JOACHIM |
| 09367456 | 6262112 | 150 | 11/15/1999 | ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES | SCHUHM JOACHIM |

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|---|---|---|---|---|---|
| 09355289 | Not Issued | 161 | 09/16/1999 | 2-AMINO SUBSTITUTED PYRIDINES FOR USE IN THE TREATMENT OF ARTERIOSCLEROSIS AND HYPERLIPOPROTEINAEMIA | SCHUHM JOACHIM |
| 09024590 | 6284788 | 150 | 02/17/1998 | USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1 | SCHUHM JOACHIM |
| 08889530 | 6069148 | 150 | 07/08/1997 | CYCLOALKANO-PYRIDINES | SCHUHM JOACHIM |
| 08883673 | 5932587 | 150 | 06/27/1997 | HETEROCYCLIC-FUSED PYRIDINES | SCHUHM JOACHIM |
| 08883067 | 6063788 | 150 | 06/27/1997 | BICYCLIC-FUSED PYRIDINES | SCHUHM JOACHIM |
| 08745591 | 5739127 | 150 | 11/08/1996 | 2,4'-BRIDGED BIS-2,4-DIAMINOQUINAZOLINES | SCHUHM JOACHIM |
| 08738125 | 6174897 | 150 | 10/25/1996 | BIS-(QUINOLYL)-DIAMINES | SCHUHM JOACHIM |
| 08738124 | 5756517 | 150 | 10/25/1996 | USE OF BISQUINOLINE COMPOUNDS IN THE TREATMENT OF CEREBRAL DISORDERS | SCHUHM JOACHIM |
| 08738123 | 5866562 | 150 | 10/25/1996 | NOVEL RING-BRIDGED BIS-QUINOLINES | SCHUHM JOACHIM |
| 08729128 | 5874438 | 250 | 10/11/1996 | NOVEL 2,2'-BRIDGED BIS-2,4-DIAMINOQUINAZOLINES | SCHUHM JOACHIM |
| 08728927 | 5760230 | 150 | 10/11/1996 | NOVEL 4,4'-BRIDGED BIS-2,4-DIAMINOQUINAZOLINES | SCHUHM JOACHIM |
| 08663398 | 5942529 | 150 | 06/13/1996 | BENZISOTHIAZOLYL-SUBSTITUTED AMINOMETHYLCHROMANS | SCHUHM JOACHIM |

## Inventor Search Completed: No Records to Display.

**Search Another: Inventor** **Last Name** SCHUHMACHER **First Name** JOACHIM Search

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## Inventor Name Search Result

Your Search was:

Last Name = THIELEMANN
First Name = WOLFGANG

| Application# | Patent# | Status | Date Filed | Title | Inventor |
|---|---|---|---|---|---|
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | THIELEM WOLFGA |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | THIELEM WOLFGA |

## Inventor Search Completed: No Records to Display.

**Search Another: Inventor**

**Last Name** THIELEMANN **First Name** WOLFGANG Search

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Day : Friday
Date: 6/13/2003

PALM INTRANET

Time: 14:39:07

## Inventor Name Search Result

Your Search was:

Last Name = STEINHAGEN
First Name = HENNING

| Application# | Patent# | Status | Date Filed | Title | Inventor |
|---|---|---|---|---|---|
| 09980242 | Not Issued | 071 | 11/29/2001 | SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS | STEINHA HENNIN( |
| 09943325 | Not Issued | 092 | 08/30/2001 | SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE | STEINHA HENNIN( |
| 09906296 | Not Issued | 092 | 07/16/2001 | SUBSTITUTED AMIDOALKYL-URACILS AND THEIR USE | STEINHA HENNIN( |

Inventor Search Completed: No Records to Display.

**Search Another: Inventor**

| Last Name | First Name | |
|---|---|---|
| STEINHAGEN | HENNING | Search |

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| NEWS 17 | Dec 17 | TOXCENTER enhanced with additional content |
| NEWS 18 | Dec 17 | Adis Clinical Trials Insight now available on STN |
| NEWS 19 | Jan 29 | Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC |
| NEWS 20 | Feb 13 | CANCERLIT is no longer being updated |
| NEWS 21 | Feb 24 | METADEX enhancements |
| NEWS 22 | Feb 24 | PCTGEN now available on STN |
| NEWS 23 | Feb 24 | TEMA now available on STN |
| NEWS 24 | Feb 26 | NTIS now allows simultaneous left and right truncation |
| NEWS 25 | Feb 26 | PCTFULL now contains images |
| NEWS 26 | Mar 04 | SDI PACKAGE for monthly delivery of multifile SDI results |
| NEWS 27 | Mar 20 | EVENTLINE will be removed from STN |
| NEWS 28 | Mar 24 | PATDPAFULL now available on STN |
| NEWS 29 | Mar 24 | Additional information for trade-named substances without structures available in REGISTRY |
| NEWS 30 | Apr 11 | Display formats in DGENE enhanced |
| NEWS 31 | Apr 14 | MEDLINE Reload |
| NEWS 32 | Apr 17 | Polymer searching in REGISTRY enhanced |
| NEWS 33 | Jun 13 | Indexing from 1947 to 1956 added to records in CA/CAPLUS |
| NEWS 34 | Apr 21 | New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX |
| NEWS 35 | Apr 28 | RDISCLOSURE now available on STN |
| NEWS 36 | May 05 | Pharmacokinetic information and systematic chemical names added to PHAR |
| NEWS 37 | May 15 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS 38 | May 15 | Supporter information for ENCOMPPAT and ENCOMPLIT updated |
| NEWS 39 | May 16 | CHEMREACT will be removed from STN |
| NEWS 40 | May 19 | Simultaneous left and right truncation added to WSCA |
| NEWS 41 | May 19 | RAPRA enhanced with new search field, simultaneous left and right truncation |
| NEWS 42 | Jun 06 | Simultaneous left and right truncation added to CBNB |
| NEWS 43 | Jun 06 | PASCAL enhanced with additional data |

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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L1 HAS NO ANSWERS
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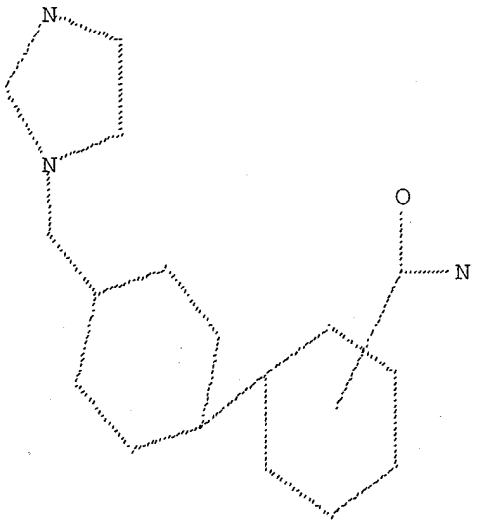


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SAMPLE SCREEN SEARCH COMPLETED -     955 TO ITERATE

100.0% PROCESSED      955 ITERATIONS                                4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        17247 TO    20953
PROJECTED ANSWERS:               4 TO      200

L2             4 SEA SSS SAM L1

=> s l1 full
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FULL SCREEN SEARCH COMPLETED -  18732 TO ITERATE

100.0% PROCESSED   18732 ITERATIONS                             118 ANSWERS
SEARCH TIME: 00.00.01

L3           118 SEA SSS FUL L1

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                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     148.57

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FILE COVERS 1907 - 13 Jun 2003 VOL 138 ISS 25
FILE LAST UPDATED: 12 Jun 2003 (20030612/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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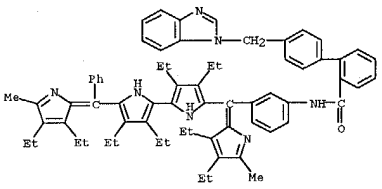
L4 33 L3

=> d ibib abs hitstr 1-33

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:656636 CAPLUS
DOCUMENT NUMBER: 135:357789
TITLE: Synthetic aspects of 2,2'-bisdipyrrins
AUTHOR(S): Broring, Martin; Griebel, Dragan; Hell, Christian; Pfister, Andreas
CORPORATE SOURCE: Institut fur Anorganische Chemie, Universitat Wurzburg, Wurzburg, D-97074, Germany
SOURCE: Journal of Porphyrins and Phthalocyanines (2001), 5(9), 708-714
CODEN: JPPHFZ; ISSN: 1088-4246
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:357789

AB The synthesis of open-chain, tetrapyrrolic 2,2'-bisdipyrrin ligands was investigated, starting from a variety of different pyrrolic and 2,2'-bipyrrolic precursors. Four important observations were made: (1) The soly. of 2,2'-bisdipyrrins can easily be tuned through the peripheral substituent pattern, allowing the aimed prepn. of both well-sol. and hardly sol. tetrapyrroles. (2) Meso-Arylsubstituted 2,2'-bisdipyrrins are easily available from resp. p- and m-, but not o-functionalized dibenzoyl bipyrroles due to sterical effects. (3) Unsym. derivs. can be obtained by the stepwise acylation of 2,2'-bipyrroles and concomitant condensation reactions, using the new 5-benzoyl-3,3',4,4'-tetraethyl-2,2'-bipyrrole as the key intermediate. (4) Meta-Nitrophenyl groups in the periphery of 2,2'-bisdipyrrins can be reduced to aminophenyl groups and further derivatized in analogy to a reaction cascade used in porphyrin chem., yielding superstructured 2,2'-bisdipyrrins. The synthetic schemes developed open the way for a large variety of tailor-made 2,2'-bisdipyrrin ligands.

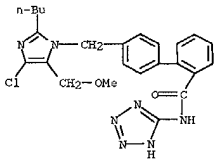
IT **373367-32-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 2,2'-bisdipyrrins)
RN 373367-32-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-(1H-benzimidazol-1-ylmethyl)-N-[3-[(3,4-diethyl-5-methyl-2H-pyrrol-2-ylidene)[5'-[(3,4-diethyl-5-methyl-2H-pyrrol-2-ylidene)phenylmethyl]-3,3',4,4'-tetraethyl[2,2'-bi-1H-pyrrol]-5-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:619582 CAPLUS
DOCUMENT NUMBER: 135:338737
TITLE: Comparative QSAR: Angiotensin II Antagonists
AUTHOR(S): Kurup, Alka; Garg, Rajni; Carini, D. J.; Hansch, Corwin
CORPORATE SOURCE: Department of Chemistry, Pomona College, Claremont, CA, 91711, USA
SOURCE: Chemical Reviews (Washington, D. C.) (2001), 101(9), 2727-2750
CODEN: CHREAY; ISSN: 0009-2665
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A QSAR study was carried out on nonpeptide angiotensin II antagonists which included a review of the literature on bioactivity and derivation of QSAR equations. The QSAR were divided into 4 groups according to the test system: rabbit, rat, guinea pig and human. Within each group, these are arranged according to potency (log 1/C). Also listed is the CMR (calcd. molar refractivity) which is similar to molar vol. but contains a small element for polarizability, and Clog P values which give an assessment of the hydrophobic effects. The authors also used .pi. as a measure of local hydrophobic binding sites. All the QSAR reported in the study were derived by the authors. The physicochem. parameters were autoloaded from their C-QSAR databases and the QSAR regression anal. was executed with a C-QSAR program. The authors derived 39 QSAR equations which provide an overview of the structure-activity relationship for a variety of compds. To the authors knowledge, these are the first QSAR for angiotensin antagonists. The most important conclusion reached is the lack of importance of hydrophobic interactions with the receptors. The relevance of the biphenyl moiety for hydrophobicity is discussed and a model of the pharmacophore is presented.

IT **114799-33-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(comparative QSAR of nonpeptide angiotensin II antagonists)
RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



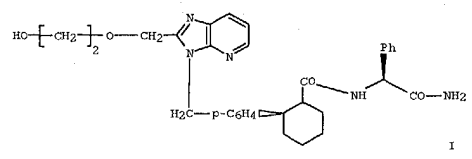
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:841902 CAPLUS
DOCUMENT NUMBER: 133:362969
TITLE: Synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia
INVENTOR(S): Bischoff, Erwin; Lensky, Stephan; Muller, Stephan Nicholas; Paulsen, Holger; Keldenich, Jorg; Krahn, Thomas; Schuhmacher, Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19924819 | A1 | 20001130 | DE 1999-19924819 | 19990529 |
| WO 2000073274 | A2 | 20001207 | WO 2000-EP4431 | 20000516 |
| WO 2000073274 | A3 | 20010419 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 2000011049 | A | 20020319 | BR 2000-11049 | 20000516 |
| EP 1187812 | A2 | 20020320 | EP 2000-925290 | 20000516 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003500474 | T2 | 20030107 | JP 2000-621340 | 20000516 |
| PRIORITY APPLN. INFO.: | | | DE 1999-19924819 A | 19990529 |
| | | | WO 2000-EP4431 W | 20000516 |

OTHER SOURCE(S): MARPAT 133:362969
GI



I

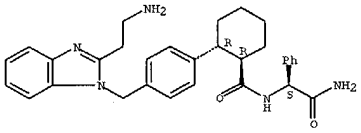
AB Title compds., e.g. (I), were prepd. for use in treating cardiovascular ischemic disorders in humans or animals. Thus, 2-(2-hydroxyethoxymethyl)pyrido[2,3-d]imidazole (prepn. given) was reacted with

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
(1R,2R)-2-(4-methylphenyl)cyclohexanecarboxylic acid (resoln. from racemate given) to yield the intermediate material which was reacted with (S)-phenylglycinamide hydrochloride to give I. In in vitro tests of rabbit erythrocyte adenosine uptake, the 2-(morpholin-4-yl)methyl [in place of the 2-(2-hydroxyethoxymethyl) sidechain] compd. had IC50 of 15 nM; the 2-(piperazinyl)benzimidazolyl variant had IC50 of 25 nM.

IT **307931-42-6P**
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia)

RN 307931-42-6 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(2-aminoethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

IT **307931-40-4P 307931-41-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia)

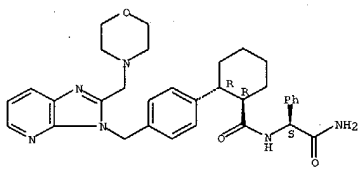
RN 307931-40-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
study); PREP (Preparation); USES (Uses)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia)

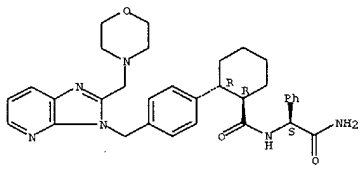
RN 307931-46-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307931-47-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

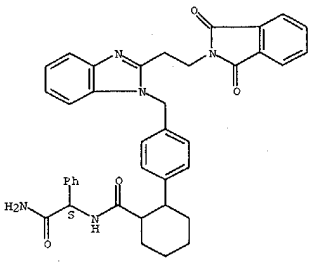


● HCl

RN 307931-51-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-methyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

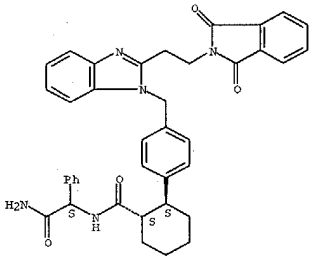
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-41-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1S,2S)-2-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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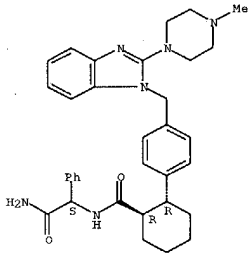
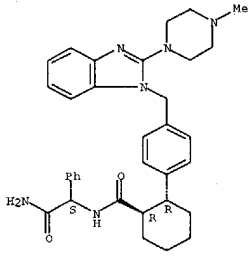
**307931-58-4P 307931-59-5P 307931-60-8P**
**307931-61-9P 307931-62-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-52-8 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-methyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

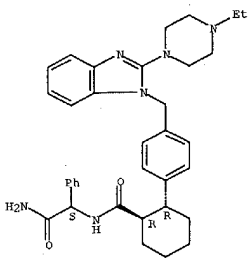


● HCl

RN 307931-53-9 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-ethyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

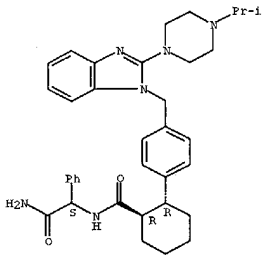
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-54-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

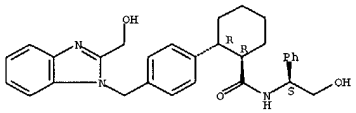


RN 307931-55-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-cyclohexyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

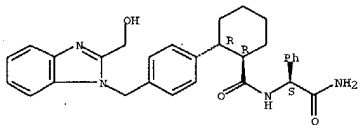
L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
INDEX NAME)

Absolute stereochemistry.



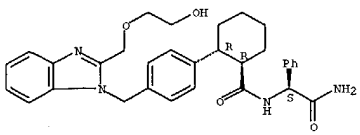
RN 307931-58-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307931-59-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

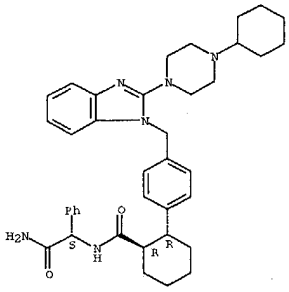
Absolute stereochemistry.



RN 307931-60-8 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

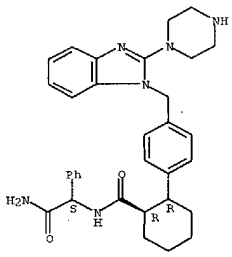
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



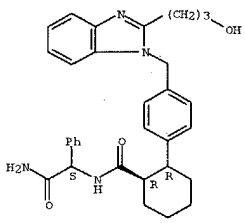
RN 307931-56-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



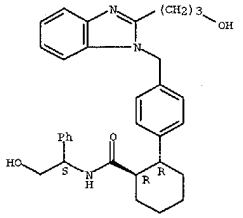
RN 307931-57-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-N-[(1S)-2-hydroxy-1-phenylethyl]-, (1R,2R)- (9CI) (CA

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-61-9 CAPLUS
CN Cyclohexanecarboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

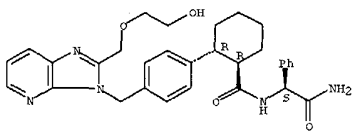
Absolute stereochemistry.



RN 307931-62-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

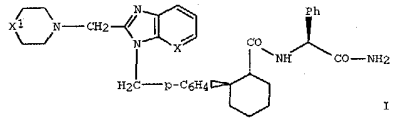


L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:841901 CAPLUS
DOCUMENT NUMBER: 133:362968
TITLE: Synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury
INVENTOR(S): Freund, Wolf-Dietrich; Lensky, Stephan; Müller, Stephan Nicolas; Paulsen, Holger; Keldenich, Jorg; Horvath, Ervin; Schuhmacher, Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19924818 | A1 | 20001130 | DE 1999-19924818 | 19990529 |
| WO 2000073275 | A1 | 20001207 | WO 2000-EP4417 | 20000516 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 2000011061 | A | 20020305 | BR 2000-11061 | 20000516 |
| EP 1185516 | A1 | 20020313 | EP 2000-925288 | 20000516 |
| EP 1185516 | B1 | 20030502 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003500475 | T2 | 20030107 | JP 2000-621341 | 20000516 |
| EE 200100634 | A | 20030217 | EE 2001-634 | 20000516 |
| AT 238997 | E | 20030515 | AT 2000-925288 | 20000516 |
| BG 106107 | A | 20020531 | BG 2001-106107 | 20011113 |
| NO 2001005810 | A | 20020125 | NO 2001-5810 | 20011128 |

PRIORITY APPLN. INFO.: DE 1999-19924818 A 19990529
WO 2000-EP4417 W 20000516
OTHER SOURCE(S): MARPAT 133:362968
GI



I

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

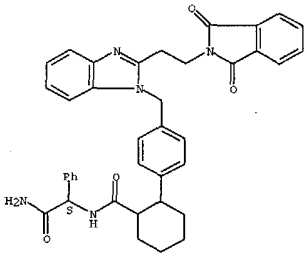
AB Title compds., e.g. I, were prepd. for use in treating ischemic brain diseases in humans or animals. Thus I [X = N, X1 = O (II)] was prepd. in six steps, starting from 2,3-diaminopyridine, glycolic acid, (1R,2R)-2-(4-bromomethylphenyl)cyclohexane-1-carboxylic acid tert-Bu ester (*prepn. given*), and (*S*)-*phenylglycinamide* hydrochloride. Similarly prepd. was I [X = C, X1 = N(Me) (III)]. In in vivo (binding of calf cortex adenosine transport protein) compds. II and III had Ki = 2 nM. In in vitro tests of rat brain reperfusion injury, II and III were effective at 0.001 mg/kg, reducing infarct vol. 81-91% of control.

IT **307931-40-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

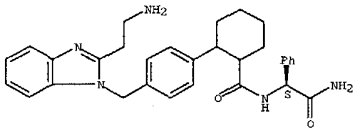
RN 307931-40-4 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

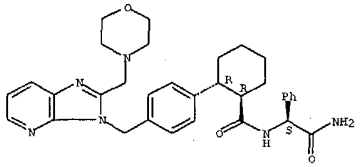


IT **307931-46-0P 307931-47-1P 307931-56-2P**
**307931-57-3P 307931-58-4P 307931-59-5P**
**307931-60-8P 307931-61-9P 307931-62-0P**
**307967-08-4P 307967-19-7P 307967-20-0P**
**307967-21-1P 307967-22-2P 307967-23-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307931-46-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **307971-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307971-72-8 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(2-aminoethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

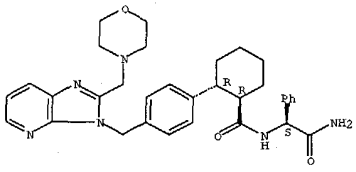
Absolute stereochemistry.

RN 307931-47-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

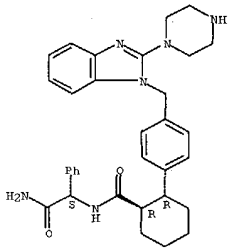
L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

RN 307931-56-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

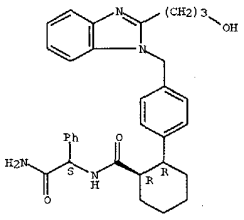
Absolute stereochemistry.



RN 307931-57-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-N-[(1S)-2-hydroxy-1-phenylethyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

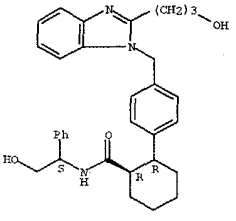
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-61-9 CAPLUS
CN Cyclohexanecarboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

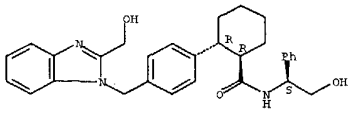
Absolute stereochemistry.



RN 307931-62-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

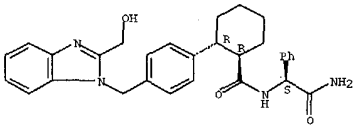
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



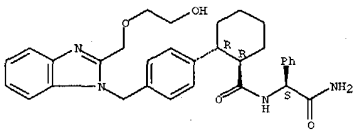
RN 307931-58-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307931-59-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

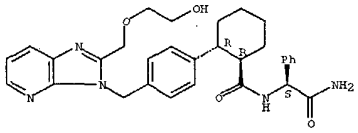
Absolute stereochemistry.



RN 307931-60-8 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

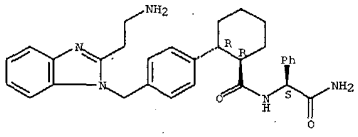
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



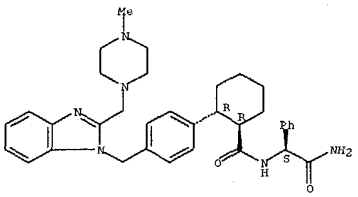
RN 307967-08-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(2-aminoethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



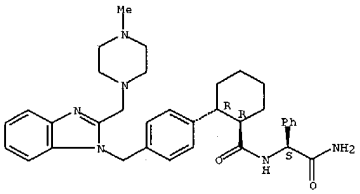
RN 307967-19-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307967-20-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

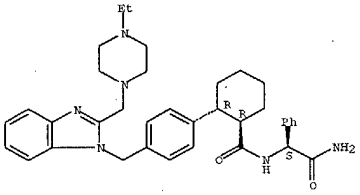
L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



● HCl

RN 307967-21-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-ethyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

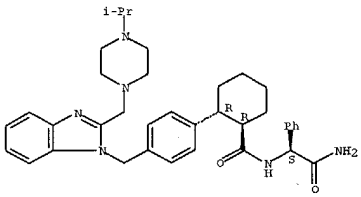
Absolute stereochemistry.



RN 307967-22-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[[4-(1-methylethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

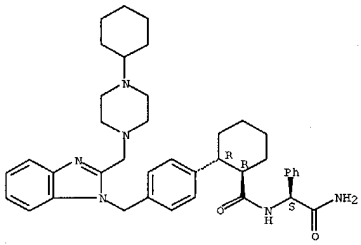
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307967-23-3 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-cyclohexyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:231463 CAPLUS
DOCUMENT NUMBER: 126:330619
TITLE: Preparation of 4'-(imidazolomethyl)biphenyl-2-carboxylates as angiotensin II receptor antagonists
INVENTOR(S): Yanagisawa, Hiroaki; Fujimoto, Koichi; Amemiya, Yoshiya; Shimoji, Yasuo; Kanazaki, Takuro; Koike, Hiroyuki; Sada, Toshio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: U.S., 129 pp., Cont.-in-part of U.S. Ser. No. 839,482, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

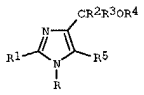
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5616599 | A | 19970401 | US 1995-378650 | 19950126 |
| CA 2229000 | C | 20020409 | CA 1992-2229000 | 19920220 |
| CN 1065063 | A | 19921007 | CN 1992-102075 | 19920221 |
| CN 1045770 | B | 19991020 | | |
| ZA 9201298 | A | 19921125 | ZA 1992-1298 | 19920221 |
| IL 114996 | A1 | 19970713 | IL 1992-114996 | 19920221 |
| RU 2092481 | C1 | 19971010 | RU 1992-5011264 | 19920221 |
| RU 2128173 | C1 | 19990327 | RU 1995-101430 | 19920221 |
| ES 2156866 | T3 | 20010801 | ES 1993-200195 | 19920221 |
| ES 2157895 | T3 | 20010901 | ES 1992-301449 | 19920221 |
| CZ 289194 | B6 | 20011114 | CZ 1992-516 | 19920221 |
| CZ 289244 | B6 | 20011212 | CZ 1993-1782 | 19930830 |
| US 5646171 | A | 19970708 | US 1995-465369 | 19950605 |
| FI 9505248 | A | 19951102 | FI 1995-5248 | 19951102 |
| NO 9504507 | A | 19920824 | NO 1995-4507 | 19951109 |
| CN 1189490 | A | 19980805 | CN 1997-123452 | 19971224 |
| CN 1101384 | B | 20030212 | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| JP 1991-27098 | A | 19910221 |
| JP 1991-96588 | A | 19910426 |
| JP 1991-134889 | A | 19910606 |
| JP 1991-167138 | A | 19910708 |
| JP 1991-173972 | A | 19910715 |
| JP 1991-184841 | A | 19910724 |
| US 1992-839482 | B2 | 19920220 |
| JP 1992-141160 | A | 19920602 |
| US 1993-69595 | B2 | 19930601 |
| CA 1992-2061607 | A3 | 19920220 |
| FI 1992-749 | A | 19920220 |
| CZ 1992-516 | A | 19920221 |
| NO 1992-688 | A | 19920221 |
| US 1995-378650 | A3 | 19950126 |
| IL 1995-101034 | A3 | 19950818 |

OTHER SOURCE(S): MARPAT 126:330619
GI

L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

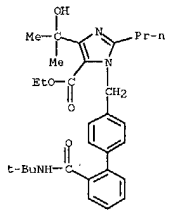


I

AB Title compds. [I; R = CH2C6H4R6; R1 = alk(en)yl; R2,R3 = H, alk(en)yl, aryl(alkyl), etc.; R4 = H, alkyl, alkanoyl, aroyl, etc.; R5 = CO2H, alkoxycarbonyl, (di)(alkyl)carbamoyl, etc.; R6 = (un)substituted C6H4CO2H or -5-tetrazolylphenyl] were prepd. Thus, BuC(OMe)3 was cyclocondensed with NCC(NH2):C(NH2)CN to give, after hydrolysis and esterification, di-Me 2-butylimidazole-4,5-dicarboxylate which was alkylated by BrCH2C6H4[C6H4(CO2CMe3)-2]-4 to give, after redn., I [R = CH2C6H4[C6H4(CO2CMe3)-2]-4, R1 = Bu, R2-R4 = H, R5 = CO2Me]. Data for biol. activity of I were given.

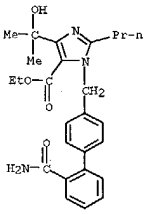
IT **144690-97-1P 144690-98-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4'-(imidazolomethyl)biphenyl-2-carboxylates as angiotensin II receptor antagonists)

RN 144690-97-1 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-[[(1,1-dimethylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 144690-98-2 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS

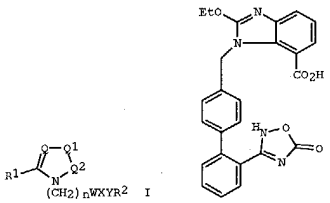
ACCESSION NUMBER: 1997:750 CAPLUS
DOCUMENT NUMBER: 126:117970
TITLE: Preparation of biphenylylmethylbenzimidazoles, -thienoimidazoles, and related compounds as as angiotensin II antagonists.
INVENTOR(S): Naka, Takehiko; Inada, Yoshiyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: U.S., 72 pp., Division of U.S. 5,354,766. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5583141 | A | 19961210 | US 1994-291435 | 19940816 |
| ZA 9204666 | A | 19931224 | ZA 1992-4666 | 19920624 |
| US 5243054 | A | 19930907 | US 1992-904452 | 19920625 |
| CA 2072541 | AA | 19921228 | CA 1992-2072541 | 19920626 |
| JP 09183778 | A2 | 19970715 | JP 1996-320175 | 19920626 |
| RU 2104276 | C1 | 19980210 | RU 1992-5052111 | 19920626 |
| PL 173303 | B1 | 19980227 | PL 1992-295044 | 19920626 |
| RU 2168510 | C2 | 20010610 | RU 1997-103420 | 19920626 |
| US 5354766 | A | 19941011 | US 1993-80259 | 19930623 |
| US 5736555 | A | 19980407 | US 1996-685012 | 19960722 |
| US 5883111 | A | 19990316 | US 1996-685907 | 19960722 |
| US 6100252 | A | 20000808 | US 1998-207044 | 19981208 |

PRIORITY APPLN. INFO.:
JP 1991-157194 A 19910627
JP 1991-188882 A 19910729
JP 1991-192054 A 19910731
JP 1991-288217 A 19910812
JP 1991-239766 A 19910919
JP 1991-341107 A 19911224
US 1992-904452 A3 19920625
US 1993-80259 A3 19930623
JP 1991-239764 A 19910919
JP 1992-169684 A3 19920626
US 1994-291435 A3 19940816
US 1996-685907 A3 19960722

OTHER SOURCE(S): MARPAT 126:117970
GI

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

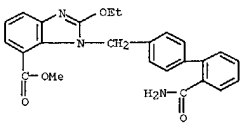


I II

AB Title compds. [I; R1 = (substituted) hydrocarbyl optionally bonded through a heteroatom; R2 = (substituted) 5-7 membered heterocyclyl contg. a carbonyl, thiocarbonyl, (oxidized) S, or group convertible into them; X = bond, spacer having an at. length of .ltoreq.2 atoms; W, Y = (substituted) (hetero)aryl; n = 1, 2; Q, Q1 = 1-2 (substituted) C or heteroatoms; Q2 = (substituted) C or heteroatom; adjacent pairs of Q-Q2 = atoms to form 5-6 membered rings], were prepd. Thus, title compd. (II) at 10-6 M inhibited angiotensin II by 79%.

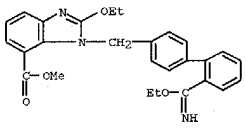
IT **147404-76-0P 147404-77-1P 147404-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biphenylylmethylbenzimidazoles, -thienoimidazoles, and related compds. as as angiotensin II antagonists)

RN 147404-76-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

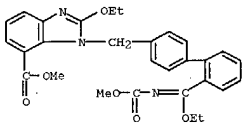


RN 147404-77-1 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(ethoxyiminomethyl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 147404-78-2 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-[ethoxy[(methoxycarbonyl)imino]methyl][1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

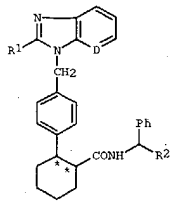


L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:567333 CAPLUS
DOCUMENT NUMBER: 125:221843
TITLE: Preparation of benzylimidazole derivatives for the treatment of vascular restenosis
INVENTOR(S): Mueller-Gliemann, Matthias; Mueller, Ulrich; Beuck, Martin; Zaiss, Siegfried; Gerdes, Christoph; Domdey-Bette, Anke; Gruetzmann, Rudi; Lohmer, Stefan; Wohlfeil, Stefan; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 725064 | A1 | 19960807 | EP 1996-100760 | 19960119 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| DE 19503160 | A1 | 19960808 | DE 1995-19503160 | 19950201 |
| TW 448176 | B | 20010801 | TW 1996-85100684 | 19960122 |
| RO 117256 | B1 | 20011228 | RO 1996-152 | 19960126 |
| CA 2168317 | AA | 19960802 | CA 1996-2168317 | 19960129 |
| JP 08253453 | A2 | 19961001 | JP 1996-33174 | 19960129 |
| IL 116931 | A1 | 20000601 | IL 1996-116931 | 19960129 |
| FI 9600425 | A | 19960802 | FI 1996-425 | 19960130 |
| AU 9642240 | A1 | 19960808 | AU 1996-42240 | 19960130 |
| AU 710235 | B2 | 19990916 | | |
| BG 63044 | B1 | 20010228 | BG 1996-100326 | 19960130 |
| BG 103820 | A | 20010928 | BG 1999-103820 | 19960130 |
| NO 9600414 | A | 19960802 | NO 1996-414 | 19960131 |
| ZA 9600725 | A | 19960820 | ZA 1996-725 | 19960131 |
| RU 2158261 | C2 | 20001027 | RU 1996-101800 | 19960131 |
| CN 1137380 | A | 19961211 | CN 1996-102574 | 19960201 |
| US 5935983 | A | 19990810 | US 1997-960075 | 19971024 |
| PRIORITY APPLN. INFO.: | | | DE 1995-19503160 A | 19950201 |
| | | | US 1996-588477 B1 | 19960118 |

OTHER SOURCE(S): MARPAT 125:221843
GI

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



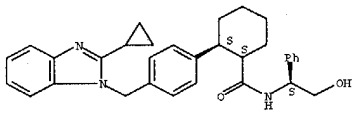
I

AB The title compds. [I; D = CH, N; R1 = Ph, cycloalkyl, (un)branched alkyl; R2 = (un)branched alkoxycarbonyl, CH2OH, CONH2], useful for the treatment of vascular restenosis, are prepd. Thus, I (D = N, R1 = CHMe2, R2 = CONH2; * * cyclohexyl ring bonding is trans) was prepd. and demonstrated a IC50 of 0.01 nM for the inhibition of rat aorta smooth muscle proliferation.

IT **181130-30-3P 181130-31-4P 181130-32-5P**
**181130-33-6P 181130-34-7P 181130-35-8P**
**181130-36-9P 181130-37-0P 181130-38-1P**
**181130-39-2P 181130-40-5P 181130-41-6P**
**181130-42-7P 181231-28-7P 181231-29-8P**
**181231-30-1P 181231-31-2P 181231-32-3P**
**181231-33-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-30-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

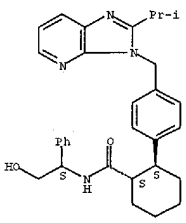
Absolute stereochemistry.



RN 181130-31-4 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-

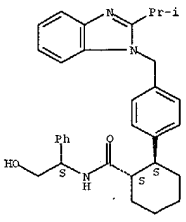
L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181130-32-5 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

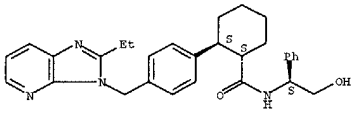
Absolute stereochemistry.



RN 181130-33-6 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

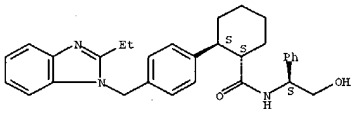
Absolute stereochemistry.

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



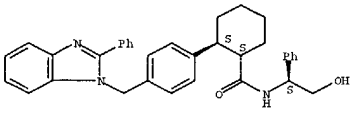
RN 181130-34-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

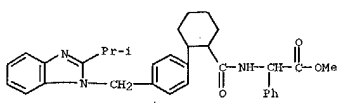


RN 181130-35-8 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

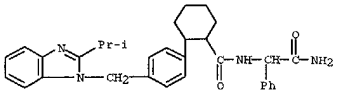
Absolute stereochemistry.



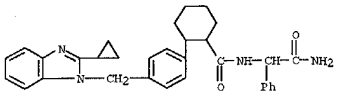
RN 181130-36-9 CAPLUS
CN Benzeneacetic acid, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



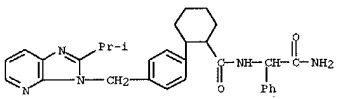
L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 181130-37-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



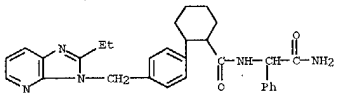
RN 181130-38-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



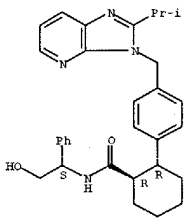
RN 181130-39-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181130-40-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

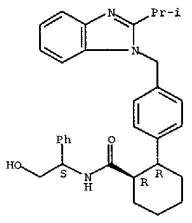


L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



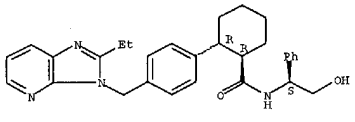
RN 181231-30-1 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



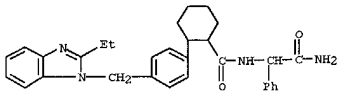
RN 181231-31-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

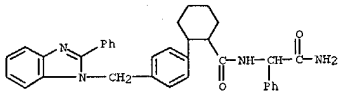


L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 181130-41-6 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

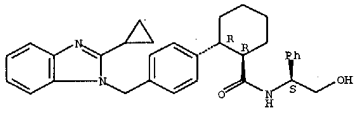


RN 181130-42-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181231-28-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



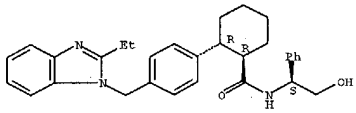
RN 181231-29-8 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

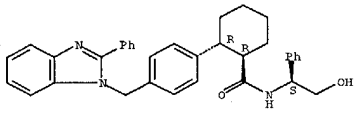
RN 181231-32-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181231-33-4 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

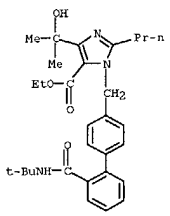


L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:820585 CAPLUS
DOCUMENT NUMBER: 123:227823
TITLE: Method for preparation of biphenylcarboxamide derivatives
INVENTOR(S): Yanagisawa, Hiroaki; Amamya, Yosha; Kanezaki, Takuo
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 07053489 | A2 | 19950228 | JP 1994-91698 | 19940428 |

PRIORITY APPLN. INFO.: JP 1993-140274 19930611
OTHER SOURCE(S): CASREACT 123:227823; MARPAT 123:227823
GI

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

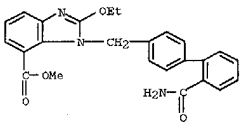
AB The title compds. (I; R1 - R4 = H, halo, C1-6 alkoxy; R5 - R6 = H, C1-6 alkyl, C6-10 aryl, C7-13 aralkyl) are prepd. by coupling of 2-halobenzamides (II; X = halo; R3 - R6 = same as above) with phenylboric acids or esters (III and IV; R1 - R2 = same as above) in the presence of a Pd(0) or Pd(II) catalyst and a base in an inert solvent. This process uses readily available raw materials and reagents and gives I, which are useful as key intermediates for angiotensin converting enzyme II inhibitors, in good yields. Thus, to a soln. of 1.60 g 4-methylphenylboric acid and 2.50 g N-tert-butyl-2-bromobenzamide in toluene and MeOH, 0.3 g 5% Pd-C and 20 mL 2 M aq. NaOH were added and the resulting mixt. was refluxed with stirring for 3 h to give, after recrystn., 1.65 g N-tert-butyl-4'-methylbiphenyl-2-carboxamide. The latter compd. was converted in 6 steps into a tetrazolylbiphenyl deriv. (V), an angiotensin converting enzyme II inhibitor (no data).
IT **144690-97-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for angiotensin converting enzyme inhibitor)
RN 144690-97-1 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-[[(1,1-dimethylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:767384 CAPLUS
DOCUMENT NUMBER: 123:169626
TITLE: preparation of heterocyclic compounds as angiotensin II antagonists
INVENTOR(S): Naka, Takehiko; Inada, Yoshiyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 243 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

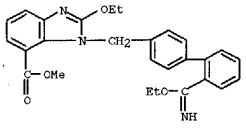
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1079966 | A | 19931229 | CN 1993-100006 | 19930101 |
| CN 1064044 | B | 20010404 | | |
| IL 102183 | A1 | 19991130 | IL 1992-102183 | 19920612 |

PRIORITY APPLN. INFO.:
IL 1992-102183 A 19920612
JP 1991-157194 A 19910627
JP 1991-188882 A 19910729
JP 1991-192054 A 19910731
JP 1991-288217 A 19910812
JP 1991-239764 A 19910919
JP 1991-341107 A 19911224
GI

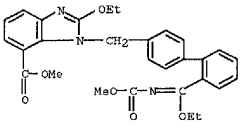
L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 147404-77-1 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(ethoxyiminomethyl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



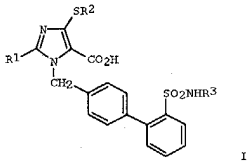
RN 147404-78-2 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-[ethoxy[(methoxycarbonyl)imino]methyl][1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Heterocyclic compds. [I; a, b, c = C or hetero atom; ring A and B = arom. or heterocyclic; R1 = hydrocarbyl contg. optional hetero atom; R2 = ring-forming group, CO, thioacyl, heterocyclyl, etc.; X = bond, 2-atom linking chain; n = 1, 2], useful as cardiovascular agents and antihypertensives, are prepd. and formulated. Addn. of HONH2.HCl with cyano compd. II (R3 = cyano) and MeONa/MeOH in DMSO gave 90% oxime deriv. II (R3 = H2NC:NOH), which was refluxed with ClCO2Et and Et3N in CH2Cl2 to give 23% oxadiazole compd. III (R = Me) (IV). Sapon. of IV with LiOH in MeOH gave 84% acid III (R = H), which showed 79% inhibition of binding with angiotensin II receptor at 10-6 M and .gtoreq.70% inhibition of angiotensin II-induced hypertension at 1 mg/kg p.o. in rats.
IT **147404-76-0P 147404-77-1P 147404-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as angiotensin II antagonists)
RN 147404-76-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

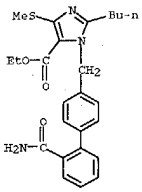
L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:608134 CAPLUS
DOCUMENT NUMBER: 123:55766
TITLE: Sulfonylureas and Sulfonylcarbamates as New Non-Tetrazole Angiotensin II Receptor Antagonists. Discovery of a Highly Potent Orally Active (Imidazolylbiphenylyl)sulfonylurea (HR 720)
AUTHOR(S): Deprez, Pierre; Guillaume, Jacques; Becker, Reinhard; Corbier, Alain; Didierlaurent, Stanislas; Fortin, Michel; Frechet, Daniel; Hamon, Gilles; Heckmann, Bertrand; et al.
CORPORATE SOURCE: Hoechst Roussel PGU Cardiovascular Agents, Frankfur/Main, 65926, Germany
SOURCE: Journal of Medicinal Chemistry (1995), 38(13), 2357-77
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

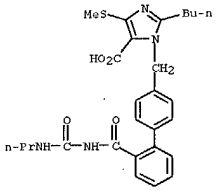
AB The synthesis and pharmacol. activity of new potent nonpeptide non-tetrazole angiotensin II (AII) receptor antagonists are described. These compds. are 4-thioimidazole derivs. linked at N1 to a biphenylsulfonyl fragment by a methylene spacer. Different acidic sulfonamides such as sulfonylureas I (R1, R2 = alkyl, R3 = PrNHCO), sulfonylcarbamates I (R1 = Bu, R2 = Me, R3 = carbalkoxy), sulfonylamides I (same R-R2, R3 = acyl), and sulfonylsulfonamides I (same R-R2, R3 = EtSO2, CF3SO2) have been investigated as replacements to the known potent tetrazole moiety at the 2'-biphenyl position. Their activities were evaluated by AII receptor binding assay as well as by in vivo (i.v. and po) assays such as inhibition of the AII-induced pressor response in pithed rats. Most of the synthesized sulfonyl derivs. showed nanomolar affinity for the AT1 receptor subtype. The N-propylsulfonylurea I (R1 = Bu, R2 = Me, R3 = PrNHCO) (12d) and the sulfonylcarbamate I (R1 = Bu, R2 = Me, R3 = CO2Me) as representative members of this series exhibited high oral activity in the pithed rat model with ID50 values of 0.35 and 0.4 mg/kg, resp. Structure-activity relationships on the imidazole ring linked to the methylbiphenyl N-propylsulfonylurea fragment demonstrated similar features to those found in the corresponding tetrazole series. For both class of compds., the linear Bu chain in position 2 and a carboxylic acid in position 5 were important for high in vitro and in vivo

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
activity. In most cases, replacement of the carboxylic acid was detrimental to in vivo activity while maintaining the in vitro kinding affinity. Introduction of a thiomethyl group was found to enhance oral activity compared to compds. with chloro or other alkylthio, (polyfluoroalkyl)thio, and arylthio groups. Compd. 12d as the most promising example of the series was synthesized as its dipotassium salt (HR 720). This compd. inhibited the specific binding of [125I]AII to rat liver membranes with an IC50 value of 0.48 nM. In vivo, HR 720 dose-dependently inhibited the AII-induced pressor response in normotensive pithed rats (ID50 = 0.11 mg/kg i.v. and 0.7 mg/kg po). In addn., this compd. produced a marked and long-lasting decrease in blood pressure in high renin animal models and proved to be superior to the corresponding tetrazolylbiphenyl deriv. as well as to DuP 753 or its active metabolite EXP 3174. HR 720 has been selected for in-depth investigations and is currently undergoing phase II clin. trials.

IT **164412-50-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of [[(imidazolylmethyl)biphenylyl]sulfonyl]urea deriv. and related compds. as non-tetrazole angiotensin II receptor antagonists)
RN 164412-50-4 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 2-butyl-4-(methylthio)-1-[[2'-[[[(propylamino)carbonyl]amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



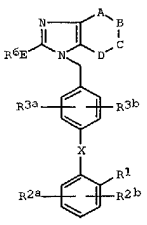
IT **164412-97-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of [[(imidazolylmethyl)biphenylyl]sulfonyl]urea deriv. and related compds. as non-tetrazole angiotensin II receptor antagonists)
RN 164412-97-9 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-butyl-4-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:546564 CAPLUS
DOCUMENT NUMBER: 123:143911
TITLE: Preparation of substituted imidazo-fused 6-membered heterocycles as angiotensin II antagonists.
INVENTOR(S): Chakravarty, Prasun K.; Greenlee, William J.; Mantlo, Nathan B.; Patchett, Arthur A.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 51 pp. Cont.-in-part of U.S. Ser. No. 358,971, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5332744 | A | 19940726 | US 1990-516286 | 19900504 |
| IL 94390 | A1 | 19960331 | IL 1990-94390 | 19900514 |
| CZ 280696 | B6 | 19960417 | CZ 1990-2568 | 19900525 |
| SK 279218 | B6 | 19980805 | SK 1990-2568 | 19900525 |
| AU 9056024 | A1 | 19901206 | AU 1990-56024 | 19900528 |
| AU 632127 | B2 | 19921217 | | |
| CA 2017773 | AA | 19901130 | CA 1990-2017773 | 19900529 |
| NO 9002384 | A | 19901203 | NO 1990-2384 | 19900529 |
| NO 177387 | B | 19950529 | | |
| NO 177387 | C | 19950906 | | |
| CN 1048546 | A | 19910116 | CN 1990-103234 | 19900529 |
| ZA 9004094 | A | 19910327 | ZA 1990-4094 | 19900529 |
| HU 55014 | A2 | 19910429 | HU 1990-3243 | 19900529 |
| FI 95908 | B | 19951229 | FI 1990-2661 | 19900529 |
| FI 95908 | C | 19960410 | | |
| EP 400974 | A2 | 19901205 | EP 1990-305850 | 19900530 |
| EP 400974 | A3 | 19911023 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 03095181 | A2 | 19910419 | JP 1990-138653 | 19900530 |
| JP 08013816 | B4 | 19960214 | | |
| US 5102880 | A | 19920407 | US 1991-755247 | 19910905 |
| US 5157026 | A | 19921020 | US 1992-840241 | 19920224 |
| US 5223499 | A | 19930629 | US 1992-881453 | 19920511 |
| FI 9403730 | A | 19940812 | FI 1994-3730 | 19940812 |
| FI 97471 | B | 19960913 | | |
| FI 97471 | C | 19961227 | | |

PRIORITY APPLN. INFO.: US 1989-358971 19890530
US 1990-516286 19900504
FI 1990-2661 19900529
OTHER SOURCE(S): MARPAT 123:143911
GI

L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



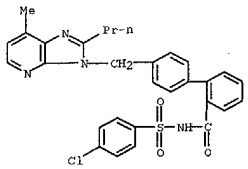
I

AB Title compds. [I; R1 = COR4, SO2NHR9, CONHOR5, heteroarylaminosulfonyl, CONHNHSO2CF3, (substituted) tetrazolyl, tetrazolylmethyl, tetrazolylaminocarbonyl, etc.; R2a, R2b = H, halo, NO2, NH2, alkylamino, dialkylamino, SO2NHR9, CF3, alkyl, alkoxy; R3a = H, halo, alkyl, alkoxy, alkoxyalkyl; R3b = H, halo, NO2, alkyl, acyloxy, cycloalkyl, alkoxy, hydroxyalkyl, arylalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, amino, fluoroalkyl, (substituted) aryl, etc.; R4 = H, alkyl (substituted) aryl, arylmethyl; R5 = H, CHR4O2CR4a; R4a = alkyl, (substituted) aryl, arylmethyl; R6 = (substituted) alkyl, alkenyl, alkynyl, aryl, cycloalkyl, perfluoroalkyl; R9 = H, alkyl, (substituted) aryl, arylmethyl; E = bond, SOx, (CH2)s, CH(OH), O, CO, NR13(CH2)s; x = 0-2; s = 0-5; R13 = H, alkylcarbonyl, alkyl, allyl, cycloalkyl, Ph, PhCH2; X = null, CO, O, S, NR13, CONR13, OCH2, SCH2, CH:CH, CF2CF2, etc.; ABCD = 6-membered (unsatd.) (substituted) heterocyclyl], were prepd. Thus, butyric acid was heated with 2,3-diaminopicoline and polyphosphoric acid at 100.degree. for 3 h to give 95% 7-methyl-2-propylimidazo[4,5-b]pyridine. This was coupled to N-triphenylmethyl-5-(4'-bromomethylbiphen-2-yl)tetrazole using NaH (32%) and the product was deprotected by heating with HOAc to give 92% 7-methyl-2-propyl-3-[2'-(tetrazol-5-yl)biphen-4-yl]methyl-3H-imidazo[4,5-b]pyridine. Drug formulations contg. the latter are given. I inhibited angiotensin II with IC50 <50 .mu.M.

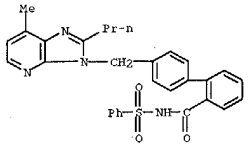
IT **133240-63-8P 133275-17-9P 162999-23-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted imidazo-fused 6-membered heterocycles as angiotensin II antagonists)

RN 133240-63-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

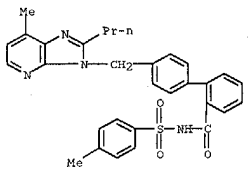
L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 133275-17-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 162999-23-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-methylphenyl)sulfonyl]-4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



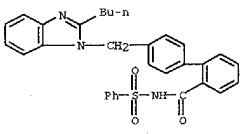
L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:230091 CAPLUS
DOCUMENT NUMBER: 122:23227
TITLE: Derivation of a 3D pharmacophore model for the angiotensin-II site one receptor
AUTHOR(S): Prendergast, Kristine; Adams, Kym; Greenlee, William J.; Nachbar, Robert B.; Patchett, Arthru A.; Underwood, Dennis J.
CORPORATE SOURCE: Mol. Systems Dep., Merck Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Computer-Aided Molecular Design (1994), 8(5), 491-512
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: ESCOM
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A systematic search has been use to derive a hypothesis for the receptor-bound conformation of A-II antagonists at the AT1 receptor. The validity of the pharmacophore hypothesis has been tested using CoMFA, which included 50 diverse A-II antagonists, spanning four orders of magnitude in activity. The resulting cross-validated R2 or 0.64 (conventional R2 of 0.76) is indicative of a good predictive model of activity, and has been used to est. potency for a variety of non-peptidyl antagonists. The structural model for the non-peptide has been compared with respect to the natural substrate, A-II, by generating peptide to non-peptide overlays.

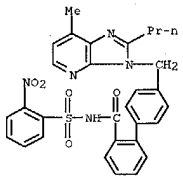
IT **133143-33-6 159859-67-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(derivation of a 3D pharmacophore model for the angiotensin-II site one receptor)

RN 133143-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 159859-67-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[(2-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

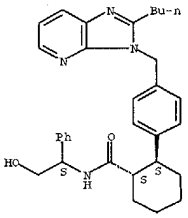


L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:94905 CAPLUS
DOCUMENT NUMBER: 122:56057
TITLE: [(Imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylates as angiotensin antagonists
INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 29 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 4304455 | A1 | 19940818 | DE 1993-4304455 | 19930215 |
| AU 9454807 | A1 | 19940818 | AU 1994-54807 | 19940131 |
| AU 672262 | B2 | 19960926 | | |
| EP 611767 | A1 | 19940824 | EP 1994-101543 | 19940202 |
| EP 611767 | B1 | 20000906 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| AT 196141 | E | 20000915 | AT 1994-101543 | 19940202 |
| ES 2151908 | T3 | 20010116 | ES 1994-101543 | 19940202 |
| US 5395840 | A | 19950307 | US 1994-193835 | 19940208 |
| CA 2115536 | AA | 19940816 | CA 1994-2115536 | 19940211 |
| FI 9400659 | A | 19940816 | FI 1994-659 | 19940211 |
| IL 108625 | A1 | 19970930 | IL 1994-108625 | 19940211 |
| PL 177834 | B1 | 20000131 | PL 1994-302213 | 19940211 |
| NO 9400506 | A | 19940816 | NO 1994-506 | 19940214 |
| ZA 9400984 | A | 19940824 | ZA 1994-984 | 19940214 |
| JP 06293741 | A2 | 19941021 | JP 1994-37543 | 19940214 |
| RU 2119480 | C1 | 19980927 | RU 1994-4975 | 19940214 |
| CN 1108257 | A | 19950913 | CN 1994-101553 | 19940215 |
| CN 1057085 | B | 20001004 | | |
| CZ 289096 | B6 | 20011114 | CZ 1994-329 | 19940215 |

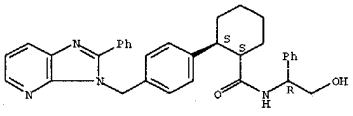
PRIORITY APPLN. INFO.: DE 1993-4304455 A 19930215
OTHER SOURCE(S): MARPAT 122:56057
GI For diagram(s), see printed CA Issue.
AB The title compds., [(imidazolylmethyl)phenyl]cyclohexanecarboxylate derivs. and [(pyrrolylmethyl)phenyl]cyclohexanecarboxylate derivs. I (A = H, aryl, etc.; B, D = substituent; BD = fused ring fragment; E = nitrogen, methine; L = H, halo, nitro, etc.; T = carboxy or amide function) were disclosed as agents for the treatment of arterial hypertonia and atherosclerosis. I are antihypertensives (angiotensin II antagonists). An example compd., the [(imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylate II was prepd.
IT **158098-17-0P 158098-22-7P 158098-23-8P 158098-24-9P 158098-25-0P 158098-26-1P 158098-27-2P 158098-28-3P 158098-29-4P 158098-30-7P 158189-62-9P 158189-63-0P 158189-64-1P 158189-65-2P 158189-66-3P 158189-67-4P 158189-68-5P 159992-82-2P 159992-83-3P 159992-84-4P 160227-10-1P**

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

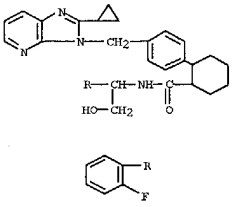


RN 158098-24-9 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



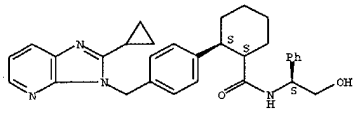
RN 158098-25-0 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(R*),2.beta.]- (9CI) (CA INDEX NAME)



RN 158098-26-1 CAPLUS

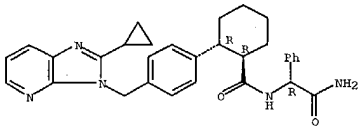
L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [[(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as angiotensin antagonists)
RN 158098-17-0 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158098-22-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(R*),2.beta.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

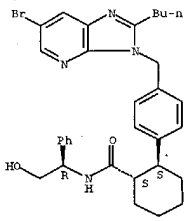


RN 158098-23-8 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

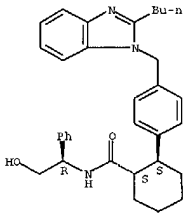
L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158098-27-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

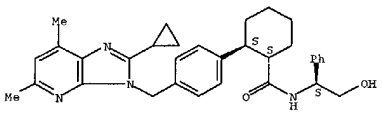
Absolute stereochemistry.



RN 158098-28-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

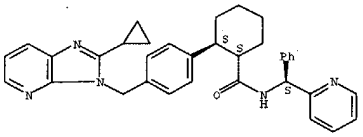
Absolute stereochemistry.

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



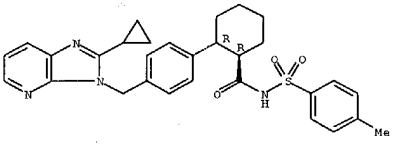
RN 158098-29-4 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158098-30-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

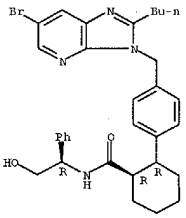


RN 158189-62-9 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(S*),2.beta.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

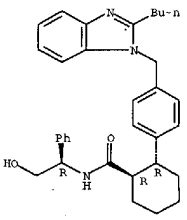
L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158189-66-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

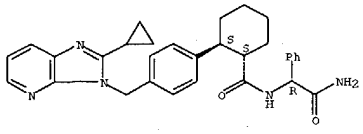
Absolute stereochemistry.



RN 158189-67-4 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

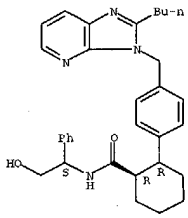
Absolute stereochemistry.

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



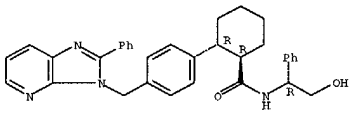
RN 158189-63-0 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



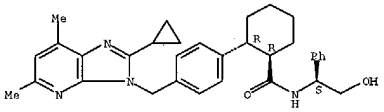
RN 158189-64-1 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



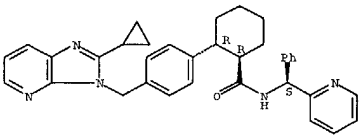
RN 158189-65-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



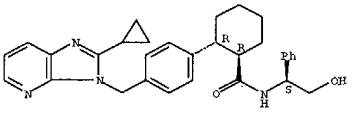
RN 158189-68-5 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159992-82-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

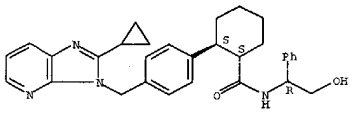
Absolute stereochemistry.



RN 159992-83-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

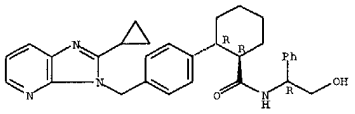
Absolute stereochemistry.

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



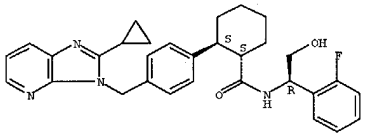
RN 159992-84-4 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160227-10-1 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(S*),2.beta.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

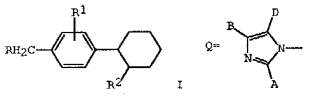


L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:245104 CAPLUS
DOCUMENT NUMBER: 120:245104
TITLE: Preparation of [(imidazolomethyl)phenyl]cyclohexanecarboxylates as angiotensin II antagonists
INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav Prof Dr; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 4221009 | A1 | 19940105 | DE 1992-4221009 | 19920626 |
| NO 9302133 | A | 19931227 | NO 1993-2133 | 19930610 |
| EP 581003 | A1 | 19940202 | EP 1993-109465 | 19930614 |
| EP 581003 | B1 | 20000906 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| AT 196136 | E | 20000915 | AT 1993-109465 | 19930614 |
| ES 2151891 | T3 | 20010116 | ES 1993-109465 | 19930614 |
| CZ 282309 | B6 | 19970611 | CZ 1993-1173 | 19930616 |
| US 5508299 | A | 19960416 | US 1993-80853 | 19930621 |
| CA 2099078 | AA | 19931227 | CA 1993-2099078 | 19930623 |
| AU 9341463 | A1 | 19940106 | AU 1993-41463 | 19930623 |
| AU 666732 | B2 | 19960222 | | |
| IL 106107 | A1 | 19970930 | IL 1993-106107 | 19930623 |
| JP 06073016 | A2 | 19940315 | JP 1993-177438 | 19930624 |
| ZA 9304583 | A | 19940202 | ZA 1993-4583 | 19930625 |
| HU 64753 | A2 | 19940228 | HU 1993-1870 | 19930625 |
| RU 2110514 | C1 | 19980510 | RU 1993-46254 | 19930625 |
| SK 281028 | B6 | 20001107 | SK 1993-668 | 19930625 |
| CN 1082538 | A | 19940223 | CN 1993-107418 | 19930626 |
| CN 1037512 | B | 19980225 | | |
| CN 1182734 | A | 19980527 | CN 1997-109705 | 19970416 |

PRIORITY APPLN. INFO.: DE 1992-4221009 A 19920626
OTHER SOURCE(S): MARPAT 120:245104
GI



AB Title compds. [I; R = imidazolo group Q; A = (cyclo)alkyl, alkeryl; B = H, halo, perfluoroalkyl; D = CH2OR3, COR4; R1 = H, halo, OH, alkyl, etc.; R2

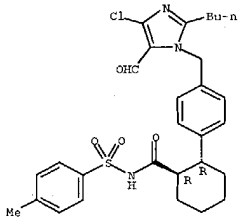
L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

= COR5, CONR6R7, (triphenylmethyl)tetrazolyl; R3,R6 = H, alkyl; R4 = H, OH, alkoxy; R5 = OH, alkoxy; R7 = SO2R9, CHPhCH2OR10; R9 = (phenyl)alkyl, Ph, etc.; R10 = H, alkyl, hydroxy-protective group) were prepd. Thus, 4-MeC6H4CH:CHCO2H was cyclocondensed with CH2:CHCH:CH2 and the product converted in 3 steps to trans-I (R1 = H, R2 = COR5)(II; R = Br, R5 = OCMe3) which was condensed with 2-butyl-4-chloro-5-formylimidazole and the product converted in 2 steps to II (R = Q, A = Bu, B = Cl, R5 = CONHSO2C6H4Me-4)(III; D = CHO). Similarly prepd. III (D = CO2H) had IC50 of 240nM against angiotensin II-induced contraction of rabbit aortal rings in vitro.

IT **154063-48-6P 154063-49-7P 154063-51-1P**
**154063-52-2P 154063-54-4P 154170-40-8P**
**154170-41-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 154063-48-6 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-4-chloro-5-formyl-1H-imidazol-1-yl)methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

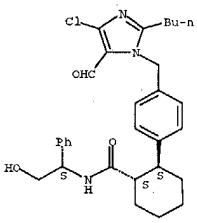
Relative stereochemistry.



RN 154063-49-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-4-chloro-5-formyl-1H-imidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

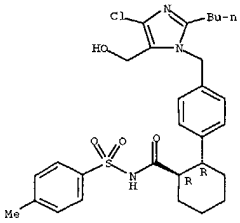
Absolute stereochemistry.

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 154063-51-1 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

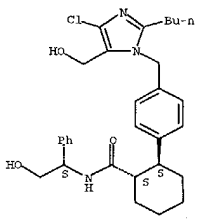
Relative stereochemistry.



RN 154063-52-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

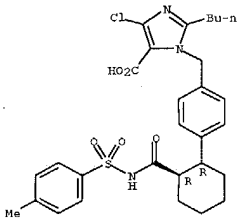
Absolute stereochemistry.

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 154063-54-4 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 2-butyl-4-chloro-1-[[4-[2-[[[(4-methylphenyl)sulfonyl]amino]carbonyl]cyclohexyl]phenyl]methyl]-, trans- (9CI) (CA INDEX NAME)

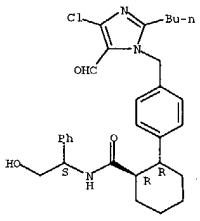
Relative stereochemistry.



RN 154170-40-8 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-4-chloro-5-formyl-1H-imidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

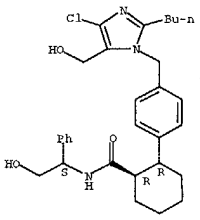
Absolute stereochemistry.

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 154170-41-9 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



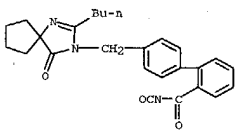
L4 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:235405 CAPLUS
DOCUMENT NUMBER: 120:235405
TITLE: Development of tetrazole bioisosteres in angiotensin II antagonists
AUTHOR(S): Ferrari, B.; Taillades, J.; Perreaut, P.; Bernhart, C.; Gougat, J.; Guiraudou, P.; Cazaubon, C.; Roccon, A.; Nisato, D.; et al.
CORPORATE SOURCE: Sanofi Rech., Monpellier, 34184, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(1), 45-50
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The application of acidic heterocycles as a substitute for tetrazole in the synthesis of potent non-peptide angiotensin II AT1 receptor antagonists is described.

IT **154389-59-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with azidotrimethylsilane)

RN 154389-59-0 CAPLUS
CN [1,1'-Biphenyl]-2-carbonyl isocyanate, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]- (9CI) (CA INDEX NAME)

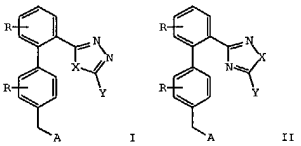


L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:603417 CAPLUS
DOCUMENT NUMBER: 119:203417
TITLE: (Biphenylyl)oxadiazoles and -thiadiazoles as angiotensin II receptor antagonists
INVENTOR(S): Connor, David T.; Kostlan, Catherine R.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5210204 | A | 19930511 | US 1992-899395 | 19920616 |
| US 5338737 | A | 19940816 | US 1993-17228 | 19930212 |

PRIORITY APPLN. INFO.: US 1992-899395 19920616
OTHER SOURCE(S): MARPAT 119:203417
GI

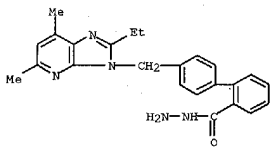


AB The title compds. I, II [A = (un)substituted arom. N-contg. heterocyclyl; R = H, lower alkyl, lower alkoxy, halogen; X = O, S; Y = OH, SH], which serve as angiotensin II receptor antagonists, and which are useful in treating hypertension (no data), hyperaldosteronism (no data), congestive heart failure (no data), and glaucoma (no data), are prepd. Thus, 5,7-dimethyl-2-ethylimidazo[4,5-b]pyridine was condensed with Me 4'-(bromomethyl)biphenyl-2-carboxylate, the intermediate condensed with hydrazine, and the acid hydrazide intermediate reacted with KOH and CS2, forming 5-[4'-[[5,7-dimethyl-2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl][1,1'-biphenyl-2-yl]-1,3,4-oxadiazol-2[3H]-thione (III). III showed inhibition of tritiated angiotensin II binding to rat liver membranes at 0.006 .mu.M.

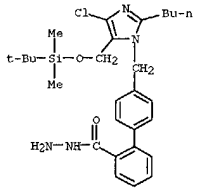
IT **150094-71-6P 150094-75-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II receptor antagonists)

RN 150094-71-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-, hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



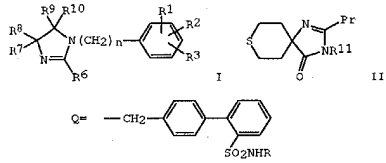
RN 150094-75-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:472605 CAPLUS
DOCUMENT NUMBER: 119:72605
TITLE: Preparation of N-(2-acyl-4'-biphenylylmethyl)imidazolinones and analogs as angiotensin II antagonists
INVENTOR(S): Boswell, George Albert; Delucca, Indawati; Quan, Mimi Lifen
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9304046 | A1 | 19930304 | WO 1992-US7022 | 19920819 |
| W: AU, CA, CS, JP, KR, PL | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| AU 9224947 | A1 | 19930316 | AU 1992-24947 | 19920819 |
| EP 601039 | A1 | 19940615 | EP 1992-918632 | 19920819 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| JP 06510763 | T2 | 19941201 | JP 1992-504582 | 19920819 |
| GB 2281072 | A1 | 19950222 | GB 1994-19146 | 19940922 |
| PRIORITY APPLN. INFO.: | | | US 1991-747023 | 19910819 |
| | | | US 1992-929455 | 19920814 |
| | | | WO 1992-US7022 | 19920819 |

OTHER SOURCE(S): MARPAT 119:72605
GI

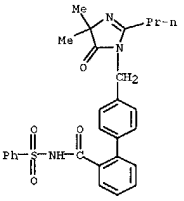


AB Title compds. [I; R1 may not be in the ortho-position and = (substituted) 2-R13C6H4; R2 = H, halo, (ar)alkyl, alkoxy, CO2H, NH2, aryl, etc.; R3 = H, halo, (alkoxy)alkyl, alkoxy; R6 = (cyclo)alkyl, alkenyl, Ph, etc.; R7-R10 = H, (cyclo)alkyl, cyano, alkoxy, etc.; R7R8 = (heteroatom-interrupted) alkylene; R9R10 = O, S; R13 = CH2CO2H, SO2NHCOR19, CONHSO2R20, etc.; R19 = H, alkyl, aryl; R20 = (cyclo)alkyl, (hetero)aryl, etc.; n = 1-4] were prepd. Thus, tetrahydrothiopyran-4-one was converted in 3 steps to

L4 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-aminocarbonyl-4-butyramidotetrahydrothiopyran, which was cyclized and the product condensed with R11Br (R11 = biphenylylmethyl group Q, R = CMe3) to give, in 2 addnl. steps, spiroimidazolone II (R11 = Q, R = Bz). I had IC50 of <10 .mu.M against angiotensin II binding to rat adrenal cortex prepn. in vitro.

IT **148236-48-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

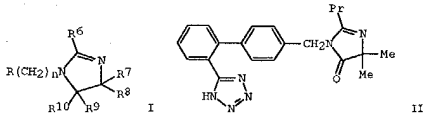
RN 148236-48-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(4,5-dihydro-4,4-dimethyl-5-oxo-2-propyl-1H-imidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:449392 CAPLUS
DOCUMENT NUMBER: 119:49392
TITLE: Preparation of 1-[(2'-acylbiphenyl-4-yl)methyl]imidazol-4-ones and analogs as angiotensin II antagonists
INVENTOR(S): Boswell, George Albert; Delucca, Indawati; Quan, Mimi Lifen
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9304045 | A1 | 19930304 | WO 1992-US7021 | 19920819 |
| W: AU, CA, CS, JP, KR, PL | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| AU 9224964 | A1 | 19930316 | AU 1992-24964 | 19920819 |
| EP 599999 | A1 | 19940608 | EP 1992-918616 | 19920819 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| JP 06510762 | T2 | 19941201 | JP 1992-504581 | 19920819 |
| PRIORITY APPLN. INFO.: | | | US 1991-747023 | 19910819 |
| | | | US 1992-929454 | 19920814 |
| | | | WO 1992-US7021 | 19920819 |

OTHER SOURCE(S): MARPAT 119:49392
GI

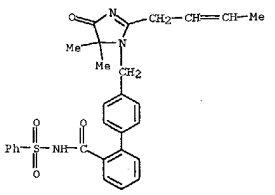


AB Title compds. [I; R = (substituted) 3- or 4-R1C6H4; R1 = (substituted) 2-R14C6H4; R5 = (cyclo)alkyl, (cyclo)alkenyl, alkynyl, etc.; R7-R10 = H, (cyclo)alkyl, cyano, CONH2, etc.; R7R8, R9R10 = O, S, (alkyl)imino, etc.; R14 = CO2H, NHCOCF3, OSO2OH, tetrazolyl, etc.; n = 1-4] were prepd. Thus, PrCOCl was condensed with H2NCMe2CN and the hydrolized product cyclized to give 2-propyl-4,4-dimethyl-1H-imidazol-5(4H)-one which was condensed with 4'-bromomethyl-2-(triphenylmethyltetrazol-5-yl)biphenyl to give, after deprotection, title compd, II. I had IC50 of <10 .mu.M against angiotensin II binding at rat adrenal cortex prepns. in vitro.

IT **148019-23-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 148019-23-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-(2-butenyl)-4,5-dihydro-5,5-dimethyl-4-oxo-1H-imidazol-1-yl]methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS

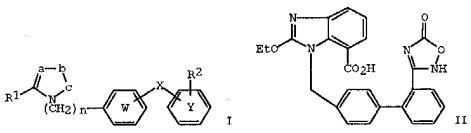
ACCESSION NUMBER: 1993:449388 CAPLUS
DOCUMENT NUMBER: 119:49388
TITLE: Preparation of heterocycyl substituted benzimidazoles as angiotensin II antagonists
INVENTOR(S): Naka, Takehiko; Inada, Yoshiyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 126 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 520423 | A2 | 19921230 | EP 1992-110668 | 19920625 |
| EP 520423 | A3 | 19930616 | | |
| EP 520423 | B1 | 20030514 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE | | | | |
| NO 9202495 | A | 19921228 | NO 1992-2495 | 19920624 |
| ZA 9204666 | A | 19931224 | ZA 1992-4666 | 19920624 |
| AU 9218598 | A1 | 19930107 | AU 1992-18598 | 19920625 |
| AU 646343 | B2 | 19940217 | | |
| AT 240323 | E | 20030515 | AT 1992-110668 | 19920625 |
| CA 2072541 | AA | 19921228 | CA 1992-2072541 | 19920626 |
| CN 1067890 | A | 19930113 | CN 1992-105152 | 19920626 |
| CN 1040755 | B | 19981118 | | |
| JP 05271228 | A2 | 19931019 | JP 1992-169684 | 19920626 |
| JP 2645962 | B2 | 19970825 | | |
| HU 71218 | A2 | 19951128 | HU 1992-2135 | 19920626 |
| HU 218792 | B | 20001228 | | |
| JP 09183778 | A2 | 19970715 | JP 1996-320175 | 19920626 |
| RU 2104276 | C1 | 19980210 | RU 1992-5052111 | 19920626 |
| PL 173303 | B1 | 19980227 | PL 1992-295044 | 19920626 |
| SK 281077 | B6 | 20001107 | SK 1992-1995 | 19920626 |
| RU 2168510 | C2 | 20010610 | RU 1997-103420 | 19920626 |

PRIORITY APPLN. INFO.: JP 1991-157194 A 19910627
JP 1991-188882 A 19910729
JP 1991-192054 A 19910731
JP 1991-288217 A 19910812
JP 1991-239764 A 19910919
JP 1991-341107 A 19911224
JP 1992-169684 A3 19920626

OTHER SOURCE(S): MARPAT 119:49388
GI

L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

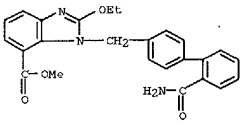


I II

AB Title compds. I [R1 = (substituted) hydrocarbyl which is optionally bonded through a hetero atom; R2 = (substituted) 5-7-membered heterocyclyl; X = bond or spacer having an at. length .ltoreq.2 between ring Y and W; W, Y (substituted) heterocyclyl; n = 1, 3; a and b forming the heterocyclic residue are independently 1 or 2 optionally substituted C or hetero atoms; c is optionally substituted C or hetero atom], are prepd. Me 2-[[(2'-cyanobiphenyl-4-yl)methyl]amino]-3-nitrobenzoate in MeOH/THT, FeCl3.6H2O, and activated charcoal were refluxed for 30 min followed by addn. of H2NNH2.cntdot.H2O to give Me 3-amino-2-[[(2'-cyanobiphenyl-4-yl)methyl]amino]benzoate which in 4 steps was converted to the title compd. II. II at 1 mg/kg (p.o) in rats inhibited pressor response to angiotensin II by .gtoreq.70%. Pharmaceutical formulation comprising I are given.

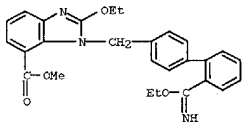
IT **147404-76-0P 147404-77-1P 147404-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II antagonists)

RN 147404-76-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

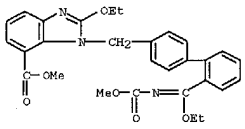


RN 147404-77-1 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(ethoxyiminomethyl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



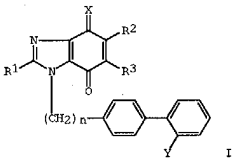
RN 147404-78-2 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-[ethoxy[(methoxycarbonyl)imino]methyl][1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:101956 CAPLUS
DOCUMENT NUMBER: 118:101956
TITLE: Preparation of imidazobenzoquinones for treating hypertension and congestive heart failure
INVENTOR(S): Koh, Keiko; Itoh, Noriie; Ozawa, Kazunori; Kushida, Hiroshi; Mcwhorter, William W., Jr.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9219211 | A2 | 19921112 | WO 1992-US3440 | 19920430 |
| WO 9219211 | A3 | 19930121 | | |
| W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US | | | | |
| RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG | | | | |
| JP 05112533 | A2 | 19930507 | JP 1991-346283 | 19911227 |
| AU 9217848 | A1 | 19921221 | AU 1992-17848 | 19920430 |
| AU 650342 | B2 | 19940616 | | |
| EP 586466 | A1 | 19940316 | EP 1992-910914 | 19920430 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE | | | | |
| JP 06507620 | T2 | 19940901 | JP 1992-510074 | 19920430 |
| US 5506361 | A | 19960409 | US 1993-122445 | 19931021 |

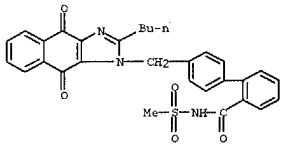
PRIORITY APPLN. INFO.: JP 1991-102639 19910508
JP 1991-140057 19910612
JP 1991-205879 19910816
JP 1991-346283 19911227
WO 1992-US3440 19920430
OTHER SOURCE(S): MARPAT 118:101956
GI



I

AB Title compds. I [R1 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, CF3,

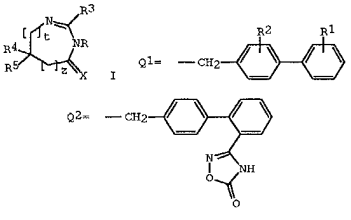
L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
aryl, aralkyl; X = O, NOR6, NNHR5, CR7R8; Y = 1H-tetrazol-5-yl or its alkali metal salt, CO2R4, CONR'R'', CONHSO2R5; R2, R3 = H, (substituted) C1-8 alkyl, C1-8 alkoxy, cyano, CO2R4, CONR'R'', CONHSO2R5; R2R3 = (substituted) aryl, heterocyclyl; R4 = H, alkali metal, C1-8 alkyl; R5 = C1-8 alkyl, C3-10 cycloalkyl, aryl; R6 = H, C1-8 alkyl which may be substituted by Y; R7, R8 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, CF3, aryl, aralkyl; R7R8 = atoms to complete an alicyclic group; R', R'' = H, C1-8 alkyl or R'R'' = atoms to complete an alicyclic group; n = 0-2] were prepd. as angiotensin II antagonists, useful as antihypertensives and for the treatment of congestive heart failure. Thus, N-alkylation of 2-n-butyl-1H-naphthimidazole-4,9-dione by (2'-tert-butoxycarbonylbiphenyl-4-yl)methyl bromide in DMF contg. NaH, followed by deprotection with CF3CO2H, gave title compd. I [R1 = Bu; R2R3 = CH:CHCH:CH; X = O; Y = CO2H; n = 1] (II). II had IC50 of 5.4 .times. 10-7M in vitro against angiotensin II binding to receptors from rat adrenal cortex.
IT **145982-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of hypertension and congestive heart failure)
RN 145982-07-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4,9-dihydro-4,9-dioxo-1H-naphth[2,3-d]imidazol-1-yl)methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:80941 CAPLUS
DOCUMENT NUMBER: 118:80941
TITLE: Preparation of [4'-(imidazolinonomethyl)-2-biphenylyl]oxooxadiazoles and analogs as angiotensin II antagonists
INVENTOR(S): Bernhart, Claude; Ferrari, Bernard; Perreaut, Pierre
PATENT ASSIGNEE(S): Elf Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

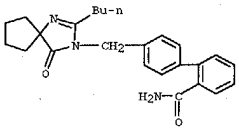
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 501892 | A1 | 19920902 | EP 1992-400523 | 19920228 |
| EP 501892 | B1 | 19960724 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE | | | | |
| FR 2673427 | A1 | 19920904 | FR 1991-2501 | 19910301 |
| FR 2673427 | B1 | 19930618 | | |
| JP 05132467 | A2 | 19930528 | JP 1992-43081 | 19920228 |
| US 5268375 | A | 19931207 | US 1992-843239 | 19920228 |
| AT 140698 | E | 19960815 | AT 1992-400523 | 19920228 |
| ES 2092651 | T3 | 19961201 | ES 1992-400523 | 19920228 |

PRIORITY APPLN. INFO.: FR 1991-2501 19910301
OTHER SOURCE(S): MARPAT 118:80941
GI

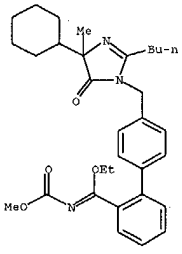


AB Title compds. [I; R = biphenylylmethyl group Q1; R1, R2 = H, CO2N:C(NH2)2, CONHNHCONH2, COCH2CO2Et, (oxo)(ox)azolyl, etc.; R3 = H, (halo)alkyl, alkenyl, Ph, etc.; R4, R5 = (cyclo)alkyl, phenyl(alkyl), etc.; R4R5 = atoms to complete a ring; t = z = 0; 1 of t, z = 0 and the other = 1; X = O, S] were prepd. Thus, Et 1-aminocyclopentanecarboxylate was cyclocondensed with BuC(:NH)OEt to give I [R3 = Bu, R4R5 = (CH2)4, X = O, t = z = 0] (II; R = H) which was condensed with 4-(BrCH2)C6H4C6H4[C(OEt):NCO2Me]-2 (prepn. given) to give, after cyclocondensation with HONH2, II (R = oxooxadiazolylbiphenylylmethyl group

L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Q2). I had IC50 < 106M against angiotensin II binding at rat liver membrane prepn. in vitro.
IT **144625-34-3P 144625-38-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II inhibitors)
RN 144625-34-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]- (9CI) (CA INDEX NAME)

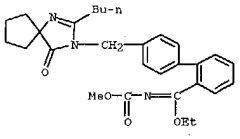


RN 144625-38-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboximidic acid, 4'-[(2-butyl-4-cyclohexyl-4,5-dihydro-4-methyl-5-oxo-1H-imidazol-1-yl)methyl]-N-(methoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)

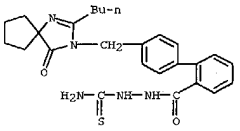


IT **144625-21-8P 144625-26-3P 144625-33-2P 144625-44-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II inhibitor)
RN 144625-21-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboximidic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(methoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)

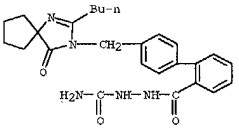
L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 144625-26-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-, 2-(aminothioxomethyl)hydrazide (9CI) (CA INDEX NAME)

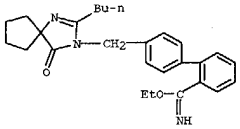


RN 144625-33-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-, 2-(aminocarbonyl)hydrazide (9CI) (CA INDEX NAME)



RN 144625-44-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboximidic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:22240 CAPLUS
DOCUMENT NUMBER: 118:22240
TITLE: Preparation of 1-[(carboxy-biphenylyl)methyl]imidazole-5-carboxylates and analogs as angiotensin II antagonists
INVENTOR(S): Yanagisawa, Hiroaki; Shimoji, Yasuo; Fujimoto, Koichi; Kanazaki, Takuro; Anemiya, Yoshiya; Koike, Hiroyuki; Sada, Toshio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 183 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

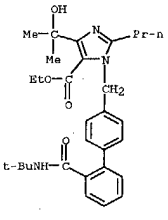
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 503785 | A1 | 19920916 | EP 1992-301449 | 19920221 |
| EP 503785 | B1 | 20010425 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | |
| CA 2061607 | AA | 19920822 | CA 1992-2061607 | 19920220 |
| CA 2061607 | C | 19990119 | | |
| FI 9200749 | A | 19920822 | FI 1992-749 | 19920220 |
| CA 2229000 | C | 20020409 | CA 1992-2229000 | 19920220 |
| NO 9200688 | A | 19920824 | NO 1992-688 | 19920221 |
| AU 9211125 | A1 | 19920827 | AU 1992-11125 | 19920221 |
| AU 647887 | B2 | 19940331 | | |
| HU 60475 | A2 | 19920928 | HU 1992-578 | 19920221 |
| CN 1065063 | A | 19921007 | CN 1992-102075 | 19920221 |
| CN 1045770 | B | 19991020 | | |
| ZA 9201298 | A | 19921125 | ZA 1992-1298 | 19920221 |
| JP 05078328 | A2 | 19930330 | JP 1992-34970 | 19920221 |
| JP 07121918 | B4 | 19951225 | | |
| EP 545912 | A2 | 19930609 | EP 1993-200195 | 19920221 |
| EP 545912 | A3 | 19930616 | | |
| EP 545912 | B1 | 20010425 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | |
| IL 101034 | A1 | 19961016 | IL 1992-101034 | 19920221 |
| IL 114996 | A1 | 19970713 | IL 1992-114996 | 19920221 |
| RU 2092481 | C1 | 19971010 | RU 1992-5011264 | 19920221 |
| RU 2128173 | C1 | 19990327 | RU 1995-101430 | 19920221 |
| AT 200777 | E | 20010515 | AT 1992-301449 | 19920221 |
| AT 200778 | E | 20010515 | AT 1993-200195 | 19920221 |
| ES 2156866 | T3 | 20010801 | ES 1993-200195 | 19920221 |
| ES 2157895 | T3 | 20010901 | ES 1992-301449 | 19920221 |
| CZ 289194 | B6 | 20011114 | CZ 1992-516 | 19920221 |
| CZ 289244 | B6 | 20011212 | CZ 1993-1782 | 19930830 |
| FI 9505248 | A | 19951102 | FI 1995-5248 | 19951102 |
| NO 9504507 | A | 19920824 | NO 1995-4507 | 19951109 |
| CN 1189490 | A | 19980805 | CN 1997-123452 | 19971224 |
| CN 1101384 | B | 20030212 | | |
| HK 1011361 | A1 | 20020104 | HK 1998-112355 | 19981126 |
| HK 1011969 | A1 | 20011228 | HK 1998-113006 | 19981209 |

PRIORITY APPLN. INFO.: JP 1991-27098 A 19910221
JP 1991-96588 A 19910426
JP 1991-134889 A 19910606
JP 1991-167138 A 19910708
JP 1991-173972 A 19910715
JP 1991-184841 A 19910724

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

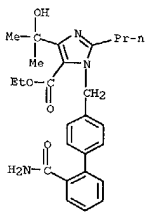
| | | | |
|---|---|---|---|
| CA 1992-2061607 | A3 | 19920220 | |
| FI 1992-749 | A | 19920220 | |
| CZ 1992-516 | A | 19920221 | |
| NO 1992-688 | A | 19920221 | |
| IL 1995-101034 | A3 | 19950818 | |

OTHER SOURCE(S): MARPAT 118:22240
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R1 = alkyl, alkenyl; R2,R3 = H, (cyclo)alkyl, alkenyl, aryl, etc.; R4 = H, alkyl, alkaroyl, arylcarbonyl, heterocyclyl, etc.; R5 = CO2H, (di)(alkyl)carbamoyl, CO2R5a, etc.; R5a = ester residue; R6 = H, alkyl, alkoxy, halo; R7 = CO2H, 5-tetrazolyl; Z = phenylenediyl] were prepd. Thus, diaminomaleonitrile was cyclocondensed with PrC(OMe)3 and to product converted in 2 steps to di-Et 2-propylimidazole-4,5-dicarboxylate which was condensed with 4-(BrH2C)C6H4C6H4R8-Z (R7 = trityltetrazol-5-yl) and the product converted in 3 steps to title compd. II which had ED50 of 0.0062 mg/kg i.v. for inhibition of the angiotensin II-induced pressor response in rats.
IT **144690-97-1P 144690-98-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II antagonists)
RN 144690-97-1 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-[[(1,1-dimethylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 144690-98-2 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

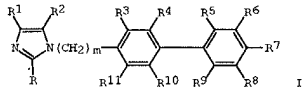


L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:427148 CAPLUS
DOCUMENT NUMBER: 117:27148
TITLE: Preparation of renal-selective angiotensin II antagonists for treatment of hypertension
INVENTOR(S): Manning, Robert E.; Reitz, David B.
PATENT ASSIGNEE(S): Searle, G. D., and Co., USA
SOURCE: PCT Int. Appl., 381 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9202257 | A2 | 19920220 | WO 1991-US5476 | 19910806 |
| WO 9202257 | A3 | 19920402 | | |
| W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US | | | | |
| RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG | | | | |
| AU 9185342 | A1 | 19920302 | AU 1991-85342 | 19910806 |
| US 5302610 | A | 19940412 | US 1991-810321 | 19911219 |

PRIORITY APPLN. INFO.: US 1990-566208 19900810
WO 1991-US5476 19910806
OTHER SOURCE(S): MARPAT 117:27148
GI



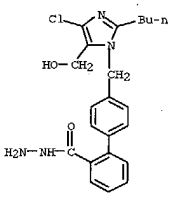
I

AB Title antagonists, comprising conjugates between angiotensin II antagonistic (biphenylylalkyl)imidazoles I [R-R11 = H, (hydroxy)alkyl, halo, CHO, alkoxy, (hetero)aryl, etc.; m = 1-4] and, e.g., COCH2CH2CH(NHAc)CO2H (Q) linked by a kidney-enzyme-cleavable amide bond, were prepd. Thus, 2-butyl-4-chloro-5-hydroxymethylimidazole was condensed with 2-[4-(BrCH2)C6H4]C6H4CO2Me and the product condensed with hydrazine to give I (R = Bu, R1 = Cl, R2 = CH2OH, R3 = R4 = R6-R11 = H, m = 1) (II; R5 = CONHNH2) which was condensed with HO2CCH2CH2CH(NHCO2CMe3)CO2CMe3 to give, after deprotection and N-acetylation, II (R5 = Q). The latter gave .apprx.25 mm Hg redn. of arterial pressure in spontaneously hypertensive rats receiving 10 mg/h i.v. for 3 days.

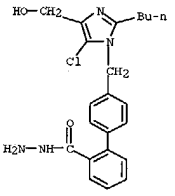
IT **141949-87-3P 141949-88-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II antagonists)

RN 141949-87-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



RN 141949-88-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-5-chloro-4-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)

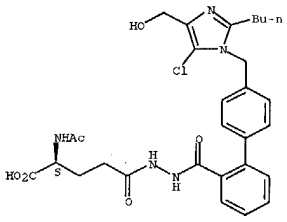


IT **141949-81-7P 141949-84-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 141949-81-7 CAPLUS
CN L-Glutamic acid, N-acetyl-, 5-[2-[[4'-[[2-butyl-5-chloro-4-(hydroxymethyl)-1H-imidazol-1-yl]methyl][1,1'-biphenyl]-2-yl]carbonyl]hydrazide] (9CI) (CA INDEX NAME)

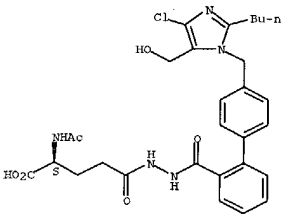
Absolute stereochemistry.

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141949-84-0 CAPLUS
CN L-Glutamic acid, N-acetyl-, 5-[2-[[4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl][1,1'-biphenyl]-2-yl]carbonyl]hydrazide] (9CI) (CA INDEX NAME)

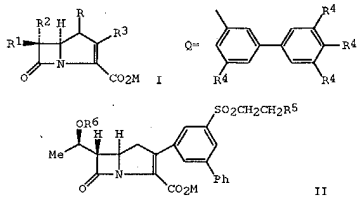
Absolute stereochemistry.



L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:255395 CAPLUS
DOCUMENT NUMBER: 116:255395
TITLE: Preparation of [(heteroaryliumalkyl)biphenylyl]carbapenems and analogs as antibiotics
INVENTOR(S): Dininno, Frank P.; Salzmann, Thomas N.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 165 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

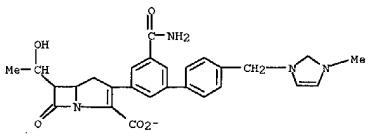
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 467434 | A1 | 19920122 | EP 1991-201565 | 19910620 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| US 5011832 | A | 19910430 | US 1990-544281 | 19900626 |
| US 5208329 | A | 19930504 | US 1992-839005 | 19920214 |
| PRIORITY APPLN. INFO.: | | | US 1990-544281 | 19900626 |
| | | | US 1990-594886 | 19901009 |

OTHER SOURCE(S): MARPAT 116:255395
GI



AB Title compds. [I; M = H, neg. charge, pharmaceutically acceptable cation or ester residue; R = H, Me; R1,R2 = H, Me, CHMeOH, etc.; R3 = biphenylyl group Q; R4 are independantly selected from: H, Zr5; R5 = (substituted) pyridinio, imidazolio, pyridiniumyl, etc.; Z = (CH2)mZ1(CH2)n; Z1 = bond, O, SO0-2, NH, CO, CONH, etc.; m = 0-6; n = 1-6] were prepd. as antibiotics (no data). Thus, biphenylylcarbapenem II (M = allyl, R6 = CH2:CHCH2O2C, R5 = H) was condensed with N-methylimidazole and (CF3SO2)2O and the imidazolium adduct deprotected to give II (M = neg. charge, R5 = N-methylimidazolio, R6 = H).
IT **138466-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibiotic)
RN 138466-49-6 CAPLUS
CN 1H-Imidazolium, 1-[[3'-(aminocarbonyl)-5'-[2-carboxy-6-(1-hydroxyethyl)-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl][1,1'-biphenyl]-4-yl]methyl]-3-methyl-, inner salt, [5R-[5.alpha.,6.alpha.(R*)]]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:83444 CAPLUS
DOCUMENT NUMBER: 116:83444
TITLE: 2-biphenyl-carbapenem antibacterial agents
INVENTOR(S): Dininno, Frank P.; Salzmann, Thomas N.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 84 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

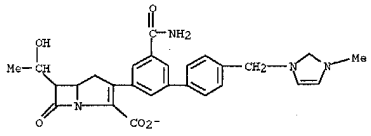
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5011832 | A | 19910430 | US 1990-544281 | 19900626 |
| EP 467434 | A1 | 19920122 | EP 1991-201565 | 19910620 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| CA 2045388 | AA | 19911227 | CA 1991-2045388 | 19910625 |
| JP 05092976 | A2 | 19930416 | JP 1991-250116 | 19910626 |
| JP 07091295 | B4 | 19951004 | | |
| PRIORITY APPLN. INFO.: | | | US 1990-544281 | 19900626 |
| | | | US 1990-594886 | 19901009 |

OTHER SOURCE(S): MARPAT 116:83444
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R = H, Me; R1,R2 = H, Me, Et, Me2CH, HOCH2, MeCH(OH), Me2C(OH), FCH2CH(OH), F2CHCH(OH), F3CCH(OH), MeCHF, MeCF2, Me2CF; R3-R6 = (substituted) N-heterocyclyl connected via a spacer; M = H, pharmaceutically acceptable esterifying group, protecting group, cation, or neg. charge balanced by a pos. charged group], were prepd. as antibacterials (no data). I are said to be narrow spectrum antibacterials particularly useful against methicillin-resistant Staphylococcus aureus, -S. epidermis, and -coagulase neg. Staphylococci. Thus, title compd. II was prepd. in several steps from azetidinone III.
IT **138466-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibacterial)
RN 138466-49-6 CAPLUS
CN 1H-Imidazolium, 1-[[3'-(aminocarbonyl)-5'-[2-carboxy-6-(1-hydroxyethyl)-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl][1,1'-biphenyl]-4-yl]methyl]-3-methyl-, inner salt, [5R-[5.alpha.,6.alpha.(R*)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:41453 CAPLUS
DOCUMENT NUMBER: 116:41453
TITLE: Preparation of N-(carboxybiphenylylmethyl)spiro[cycloalkane-imidazolinone] derivatives and analogs as angiotensin II inhibitors
INVENTOR(S): Bernhart, Claude; Breliere, Jean Claude; Clement, Jacques; Nisato, Dino; Perreaut, Pierre
PATENT ASSIGNEE(S): Sanofi S. A., Fr.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

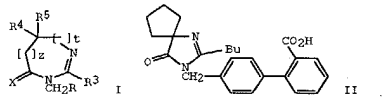
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9114679 | A1 | 19911003 | WO 1991-FR224 | 19910320 |
| W: AU, CA, FI, HU, JP, KR, NO, PL, SU, US | | | | |
| FR 2659967 | A1 | 19910927 | FR 1990-3563 | 19900320 |
| FR 2659967 | B1 | 19920724 | | |
| FR 2665702 | A1 | 19920214 | FR 1990-10144 | 19900808 |
| FR 2665702 | B1 | 19940225 | | |
| CA 2057913 | AA | 19910921 | CA 1991-2057913 | 19910320 |
| CA 2057913 | C | 19970708 | | |
| AU 9175610 | A1 | 19911021 | AU 1991-75610 | 19910320 |
| AU 641005 | B2 | 19930909 | | |
| EP 454511 | A1 | 19911030 | EP 1991-400745 | 19910320 |
| EP 454511 | B1 | 19980617 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 9102072 | A | 19920325 | ZA 1991-2072 | 19910320 |
| JP 04506222 | T2 | 19921029 | JP 1991-506471 | 19910320 |
| JP 2868313 | B2 | 19990310 | | |
| HU 61284 | A2 | 19921228 | HU 1991-3603 | 19910320 |
| PL 165945 | B1 | 19950331 | PL 1991-293015 | 19910320 |
| HU 67648 | A2 | 19950428 | HU 1993-2497 | 19910320 |
| PL 166403 | B1 | 19950531 | PL 1991-304153 | 19910320 |
| PL 166581 | B1 | 19950630 | PL 1991-304152 | 19910320 |
| IL 97612 | A1 | 19950831 | IL 1991-97612 | 19910320 |
| IL 110820 | A1 | 19951127 | IL 1991-110820 | 19910320 |
| AT 167475 | E | 19980715 | AT 1991-400745 | 19910320 |
| ES 2119764 | T3 | 19981016 | ES 1991-400745 | 19910320 |
| JP 10279566 | A2 | 19981020 | JP 1997-339895 | 19910320 |
| SK 280096 | B6 | 19990806 | SK 1991-745 | 19910320 |
| CZ 287064 | B6 | 20000816 | CZ 1991-745 | 19910320 |
| NO 9104528 | A | 19920117 | NO 1991-4528 | 19911119 |
| RU 2099331 | C1 | 19971220 | RU 1991-5010343 | 19911119 |
| US 5270317 | A | 19931214 | US 1991-794497 | 19911120 |
| LV 10439 | B | 19950820 | LV 1993-147 | 19930225 |
| LT 3376 | B | 19950825 | LT 1993-586 | 19930531 |
| US 5352788 | A | 19941004 | US 1993-79866 | 19930623 |
| US 5559233 | A | 19960924 | US 1994-269101 | 19940630 |
| CZ 287225 | B6 | 20001011 | CZ 1996-120 | 19960115 |

PRIORITY APPLN. INFO.:
FR 1990-3563 A 19900320
FR 1990-10144 A 19900808
CS 1991-745 A 19910320

L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

| | | | | |
|---|---|---|---|---|
| | | | HU 1991-3603 | A 19910320 |
| | | | IL 1991-97612 | A3 19910320 |
| | | | JP 1991-506471 | A3 19910320 |
| | | | WO 1991-FR224 | A 19910320 |
| | | | FR 1991-11161 | A 19910910 |
| | | | US 1991-794497 | A3 19911120 |
| | | | US 1993-79866 | A3 19930623 |

OTHER SOURCE(S): MARPAT 116:41453
GI

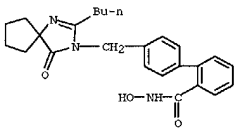


I II

AB The title compds. [I; R = substituted biphenylyl; R3 = H, (halo)alkyl; alkenyl, cycloalkyl, Ph, etc.; R4, R5 = (un)substituted (phenyl)alkyl, Ph; or R4R5 = CR7R8, heteroatom-(un)interrupted alkylene, etc.; R7 = H, alkyl, Ph; R8 = alkyl, Ph; X = O, S; t, z = 0 or 1 of t, z = 0 and the other = 1] were prepd. Thus, 1-(fluorenylmethyloxycarbonylamino)cyclopentanecarboxylic acid was amidated by H2NCH2C6H4[C6H4(CO2CMe3)-2]-4 and the N-deprotected product cyclocondensed with BuC(OEt)3 to give, after deprotection, title compd. II as the trifluoroacetate salt. I have IC50 < 10-6M against angiotensin II receptor binding.

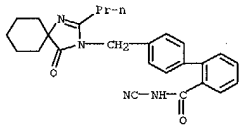
IT **138401-40-8P 138401-43-1P 138401-44-2P**
**138401-45-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II inhibitor)

RN 138401-40-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

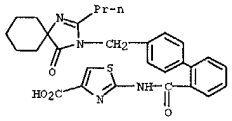


RN 138401-43-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-cyano-4'-[(4-oxo-2-propyl-1,3-diazaspiro[4.5]dec-1-en-3-yl)methyl]- (9CI) (CA INDEX NAME)

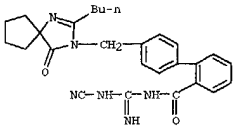
L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 138401-44-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[[4'-[(4-oxo-2-propyl-1,3-diazaspiro[4.5]dec-1-en-3-yl)methyl][1,1'-biphenyl]-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 138401-45-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-[(cyanoamino)iminomethyl]- (9CI) (CA INDEX NAME)



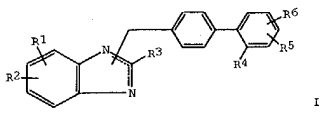
L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:21042 CAPLUS
DOCUMENT NUMBER: 116:21042
TITLE: Preparation of biphenylylmethylbenzimidazoles as angiotensin II antagonists
INVENTOR(S): Narr, Berthold; Bomhard, Andreas; Hauel, Norbert; Van Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 172 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 392317 | A2 | 19901017 | EP 1990-106322 | 19900403 |
| EP 392317 | A3 | 19910807 | | |
| EP 392317 | B1 | 19960103 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| DE 3911603 | A1 | 19901018 | DE 1989-3911603 | 19890408 |
| DE 3928177 | A1 | 19910228 | DE 1989-3928177 | 19890825 |
| AT 132491 | E | 19960115 | AT 1990-106322 | 19900403 |
| ES 2088915 | T3 | 19961001 | ES 1990-106322 | 19900403 |
| CA 2014008 | AA | 19901008 | CA 1990-2014008 | 19900406 |
| NO 9001571 | A | 19901009 | NO 1990-1571 | 19900406 |
| NO 177533 | B | 19950626 | | |
| NO 177533 | C | 19951004 | | |
| HU 53619 | A2 | 19901128 | HU 1990-2116 | 19900406 |
| HU 219908 | B | 20010928 | | |
| JP 03063264 | A2 | 19910319 | JP 1990-91952 | 19900406 |
| JP 07025739 | B4 | 19950322 | | |
| DD 293581 | A5 | 19910905 | DD 1990-339547 | 19900406 |
| IL 94049 | A1 | 19940530 | IL 1990-94049 | 19900408 |
| AU 9053013 | A1 | 19901011 | AU 1990-53013 | 19900409 |
| AU 629324 | B2 | 19921001 | | |
| ZA 9002695 | A | 19911224 | ZA 1990-2695 | 19900409 |
| RU 2026861 | C1 | 19950120 | RU 1992-5011164 | 19920330 |
| US 5541229 | A | 19960730 | US 1994-227291 | 19940413 |
| US 5864043 | A | 19990126 | US 1997-933919 | 19970923 |

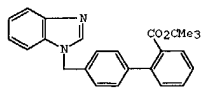
PRIORITY APPLN. INFO.:
DE 1989-3911603 A 19890408
DE 1989-3928177 A 19890825
US 1990-505967 B1 19900406
US 1991-750175 B1 19910826
US 1992-979400 B1 19921119
US 1994-227291 A3 19940413
US 1996-608353 B1 19960228

OTHER SOURCE(S): MARPAT 116:21042
GI

L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



I

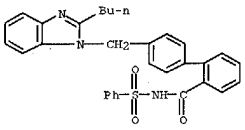


II

AB The title compds. [I; R1 = H, OH, F, Cl, Br, (substituted) alkyl, alkylcarbonylamino, alkoxy, amino, acyl, phenylalkoxy, alkylsulfonyl, etc.; R2 = R1, (substituted) 2-imidazolidinon-1-yl, 3,4,5,6-tetrahydro-2-pyrimidon-1-yl, tetrazolyl; R1R2 = atoms to complete a Ph or 1,3,3-trialkyl-2,3-dihydropyrrol-2-one group; R3 = H, F, Cl, Br, (substituted) (O-, S-, SO, SO2, imino-interrupted) alkyl, amino, alkenyl, aminocarbonyl, alkynyl, phenylalkyl, cycloalkyl, 5- or 6-membered heteroaryl, etc.; R4 = NH2, phthalimido, H2NCH2, cyano, etc.; R5 = H, F, Cl, Br; R6 = H; R5R6 = atoms to complete a Ph ring], were prepd. Thus, tert-Bu 4'-(bromomethyl)biphenyl-2-carboxylate was added to a mixt. of benzimidazole and KOCMe3 in Me2SO and the mixt. was stirred 2 h to give 90.8% title compd. II. I showed IC50 of 0.6-29.0 .mu.M.

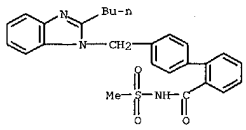
IT **133143-33-6P 133143-44-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II antagonists)

RN 133143-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



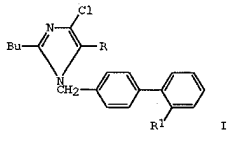
RN 133143-44-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:492147 CAPLUS
DOCUMENT NUMBER: 115:92147
TITLE: Nonpeptide angiotensin II receptor antagonists: the discovery of a series of N-(biphenylylmethyl)imidazoles as potent, orally active antihypertensives
AUTHOR(S): Carini, David J.; Duncia, John V.; Aldrich, Paul E.; Chiu, Andrew T.; Johnson, Alexander L.; Pierce, Michael E.; Price, William A.; Santella, Joseph B., III; Wells, Gregory J.; et al.
CORPORATE SOURCE: Pharm. Div., E. I. Du Pont de Nemours and Co., Inc., Wilmington, DE, 19880-0402, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2525-47
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



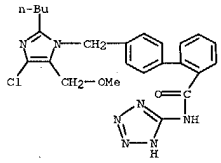
I

AB Nonpeptide angiotensin II receptor antagonists I (R = CH2OH, CH2OMe, CHO; R1 = tetrazolyl, (un)substituted triazolyl, CO2H, CONHR2, R2 = OH, OMe, OCH2Ph, SO2Ph, NHSO2CF3, COCF3, SO2CF3) were prepd. and produced a potent antihypertensive effect upon oral administration. The acidic group at the 2'-position of the biphenyl is essential. Only ortho-substituted acids possess both high affinity for the AII receptor and good oral antihypertensive potency. The carboxylic acid group has been replaced with a variety of acidic isosteres, and the tetrazole ring was the most effective.

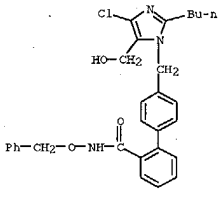
IT **114799-33-6P 114799-41-6P 114799-42-7P**
**114822-96-7P 124750-05-6P 124751-02-6P**
**126938-12-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)

RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

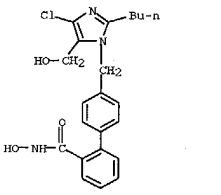
L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 114799-41-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

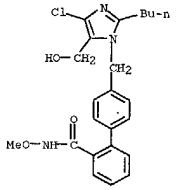


RN 114799-42-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

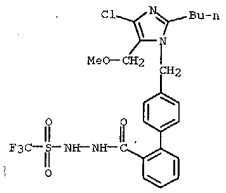


RN 114822-96-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

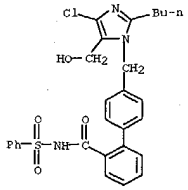


RN 124750-05-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, 2-[(trifluoromethyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

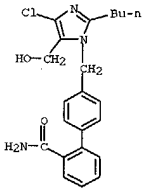


RN 124751-02-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

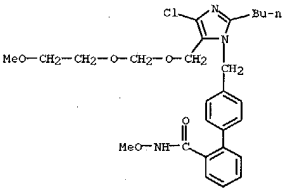


RN 126938-12-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

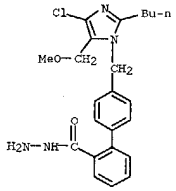


IT **114772-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 114772-85-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-[[(2-methoxyethoxy)methoxy]methyl]-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **114772-77-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and trifluoromethanesulfonylation of)
RN 114772-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



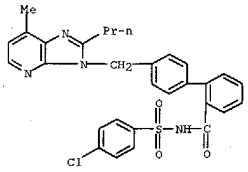
L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:429326 CAPLUS
DOCUMENT NUMBER: 115:29326
TITLE: Substituted imidazo-fused 6-membered heterocycles as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; Greenlee, William J.; Mantlo, Nathan B.; Patchett, Arthur A.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 104 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

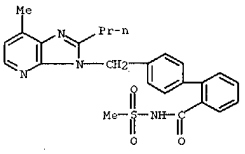
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 400974 | A2 | 19901205 | EP 1990-305850 | 19900530 |
| EP 400974 | A3 | 19911023 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 5332744 | A | 19940726 | US 1990-516286 | 19900504 |
| FI 9403730 | A | 19940812 | FI 1994-3730 | 19940812 |
| FI 97471 | B | 19960913 | | |
| FI 97471 | C | 19961227 | | |

PRIORITY APPLN. INFO.: US 1989-358971 19890530
US 1990-516286 19900504
FI 1990-2661 19900529
OTHER SOURCE(S): MARPAT 115:29326
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = 6-membered heterocycle such as pyridine, pyrimidine; R1 = CO2H, alkoxycarbonyl, aryloxycarbonyl, etc.; R2, R3 = H, halo, NO2, NH2, etc.; R4 = H, halo, C1-6 alkyl, alkoxy, etc.; R5 = H, halo, NO2, C1-6 alkyl, acyloxy, etc.; R6 = (substituted) aryl, C1-9 alkyl, C2-6 alkenyl, alkynyl, etc.; Z = bond, (substituted) imino, CH(OH), O, CO, etc.; X = bond, CO, O, CO, etc.] are prepd. A mixt. of valeric acid, 2,3-diaminopyridine, and polyphosphoric acid was heated to 100.degree. to give 95% imidazopyridine II, which was treated with NaH in DMF and then III to give 36% ester I (A = 2,3-pyrido, R1 = CO2CMe3, R2-R5 = H, R6 = Bu, X = Z = bond) (IV). Hydrolysis of ester IV with CF3CO2H in CH2Cl2 gave 95% acid I (R1 = CO2H, others remain unchanged). Some purine compds. were also prepd. Capsule, tablet, suppository, and injection formulations were given.
IT **133240-63-8P 133240-64-9P 133275-17-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)
RN 133240-63-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

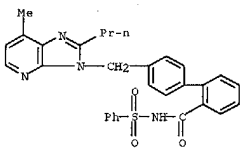
L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



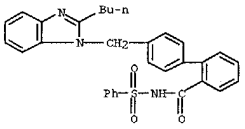
RN 133240-64-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 133275-17-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:228914 CAPLUS
DOCUMENT NUMBER: 114:228914
TITLE: Preparation and formulation of benzimidazoles as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; Patchett, Arthur A.; Camara, Valerie J.; Walsh, Thomas F.; Greenlee, William J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 400835 | A1 | 19901205 | EP 1990-305179 | 19900514 |
| R: CH, DE, FR, GB, IT, LI, NL | | | | |
| CA 2016710 | AA | 19901115 | CA 1990-2016710 | 19900514 |
| JP 03027362 | A2 | 19910205 | JP 1990-123238 | 19900515 |
| PRIORITY APPLN. INFO.: | | | US 1989-351508 | 19890515 |
| | | | US 1990-504441 | 19900404 |
| OTHER SOURCE(S): | MARPAT 114:228914 | | | |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

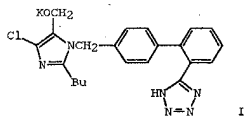
AB The title compds. I [R1 = CO2R4, SO2NHCN, NHSO2CF3, etc.; R4 = H, alkyl, aryl; aryl = (substituted) Ph, naphthyl; R2a, R2b = H, halo, NO2, NH2, etc.; R3a = H, halo, alkyl, etc.; R7a, R7b = H, alkyl, alkenyl, alkynyl, etc.; R8a, R8b = H, arylalkyl, heteroarylalkyl, etc.; R6 = aryl (as defined above), (substituted) alkyl, alkenyl, etc.; R3b = H, halo, NO2, alkyl, etc.; E = single bond, CH(OH), CO, etc.; r = 1 or 2; X = CO, O, S, etc.] were prepd. Treatment of 2-propylbenzimidazole with NaH in DMF, followed by reaction with bromomethylbiphenyl deriv. II and hydrolysis, gave benzimidazole III. Compds. I exhibited IC50 values of <50 .mu.M against angiotensin II.

IT **133143-33-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 133143-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:210731 CAPLUS
DOCUMENT NUMBER: 112:210731
TITLE: Nonpeptide angiotensin II receptor antagonists. VII. Cellular and biochemical pharmacology of DuP 753, an orally active antihypertensive agent
AUTHOR(S): Chiu, Andrew T.; McCall, Dale E.; Price, William A.; Wong, Pancras C.; Carini, David J.; Duncia, John V.; Wexler, Ruth R.; Yoo, Sung E.; Johnson, Alexander L.; Timmermans, Pieter B. M. W. M.
CORPORATE SOURCE: Pharm. Res. Div., E. I. du Pont de Nemours and Co., Wilimington, DE, 19880-0400, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1990), 252(2), 711-18
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



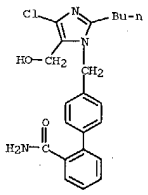
I

AB DuP 753 (I) is a potent p.o. active antihypertensive agent exerting its action by specific blockade of angiotensin II receptors. It inhibited the specific binding of labeled angiotensin II to its receptor sites in rat adrenal cortical membranes and in cultured rat smooth muscle cells with IC50 values of 19 and 20 .times. 10-9M, resp. Functional antagonism was demonstrated by its blockage of angiotensin II (3 .times. 10-8M)-induced 45Ca2+ efflux in rat aortic smooth muscle cells with an IC50 of 2 .times. 10-8M. In rabbit aorta, DuP 753 antagonized the contractile response to angiotensin II competitively with a PA2 value of 8.48 but had no effect on the responses induced by norepinephrine or KCl. In both in vitro and in vivo assays, no partial agonistic effect was detected even with concns. of up to 10-5M. In addn., this agent (10-5 or 10-4M) exhibited no direct effect on converting enzyme (rabbit lung) or renin (rat plasma). Thus, DuP 753, is a potent and highly specific angiotensin II receptor antagonist. This agent may be a useful exptl. or therapeutic tool for interference with the renin-angiotensin system in health and diseases.

IT **126938-12-3**, EXP 8821
RL: PROC (Process)
(binding of, by angiotensin II receptors of aorta smooth muscle and adrenal cortex membrane)

RN 126938-12-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:118817 CAPLUS
DOCUMENT NUMBER: 112:118817
TITLE: Preparation of (biphenylylmethyl)imidazoles and analogs as antihypertensive agents
INVENTOR(S): Carini, David John; Wong, Pancras Chor Bun; Duncia, John Jonas Vytautas
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: Eur. Pat. Appl., 271 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

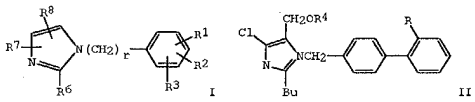
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 324377 | A2 | 19890719 | EP 1989-100144 | 19890105 |
| EP 324377 | A3 | 19910206 | | |
| EP 324377 | B1 | 19970416 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 5138069 | A | 19920811 | US 1988-279194 | 19881206 |
| CA 1338238 | A1 | 19960409 | CA 1988-586904 | 19881222 |
| WO 8906233 | A1 | 19890713 | WO 1989-US52 | 19890105 |
| W: JP | | | | |
| JP 03501020 | T2 | 19910307 | JP 1989-501656 | 19890105 |
| JP 07025738 | B4 | 19950322 | | |
| EP 733366 | A2 | 19960925 | EP 1996-107930 | 19890105 |
| EP 733366 | A3 | 19961009 | | |
| EP 733366 | B1 | 19980401 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 151755 | E | 19970515 | AT 1989-100144 | 19890105 |
| ES 2100150 | T3 | 19970616 | ES 1989-100144 | 19890105 |
| AT 164520 | E | 19980415 | AT 1996-107930 | 19890105 |
| ES 2117463 | T3 | 19980801 | ES 1996-107930 | 19890105 |
| DK 8900051 | A | 19890708 | DK 1989-51 | 19890106 |
| FI 8900070 | A | 19890708 | FI 1989-70 | 19890106 |
| FI 99012 | B | 19970613 | | |
| FI 99012 | C | 19970925 | | |
| NO 8900075 | A | 19890710 | NO 1989-75 | 19890106 |
| NO 177265 | B | 19950508 | | |
| NO 177265 | C | 19950816 | | |
| AU 8927771 | A1 | 19890713 | AU 1989-27771 | 19890106 |
| AU 617736 | B2 | 19911205 | | |
| ZA 8900127 | A | 19900926 | ZA 1989-127 | 19890106 |
| SU 1814646 | A3 | 19930507 | SU 1989-4613475 | 19890106 |
| HU 64038 | A2 | 19931129 | HU 1989-50 | 19890106 |
| HU 218201 | B | 20000628 | | |
| US 5128355 | A | 19920707 | US 1989-435869 | 19891113 |
| US 5153197 | A | 19921006 | US 1989-436165 | 19891113 |
| US 5155118 | A | 19921013 | US 1989-436281 | 19891113 |
| RU 2017733 | C1 | 19940815 | RU 1992-5010637 | 19920127 |
| US 5210079 | A | 19930511 | US 1992-832638 | 19920207 |
| US 5354867 | A | 19941011 | US 1993-47883 | 19930415 |

PRIORITY APPLN. INFO.: US 1988-142580 A 19880107
US 1988-279194 A 19881206
US 1986-884920 B2 19860711

L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

| | | |
|---|---|---|
| | US 1987-50341 | B2 19870522 |
| | EP 1989-100144 | A3 19890105 |
| | WO 1989-US52 | W 19890105 |
| | US 1989-373755 | B2 19890630 |
| | US 1990-542351 | B1 19900622 |
| | US 1990-545240 | B1 19900627 |

OTHER SOURCE(S): MARPAT 112:118817
GI

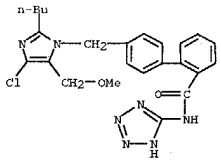


AB The title compds. [I; R1 = acyl, tetrazolyl, aminoacyl, acylamino, biphenylyl, etc.; R2 = H, halo, NO2, cyano, C1-4 alkyl, etc.; R3 = H, halo, C1-4 alkyl, alkoxy; R6 = C2-10 alkyl, C3-10 alkenyl, alkynyl, C3-8 cycloalkyl, (un)substituted Ph, PhCH2, etc.; R7 = H, halo, NO2, cyano, pentafluorophenyl, etc.; R8 = H, cyano, C1-10 (fluoro)alkyl, etc.; r = 0-2] were prepd. Thus, 2-butyl-4-chloro-5-hydroxymethylimidazole was stirred 0.5 h with NaOMe in MeOH and the product stirred overnight with 4'-bromomethyl-2-cyanobiphenyl (prepn. given) in DMF to give title compd. II (R = cyano, R4 = H) which was converted in 2 steps to II (R = cyano, R4 = Me). The latter was stirred 2 days at 100.degree. and 11 days at 120.degree. with NaN3 in DMF contg. NH4Cl to give II (R = 1H-tetrazol-5-yl, R4 = Me) the Na salt of which had IC50 of 0.020 .mu.M for inhibition of angiotensin II receptor binding and showed significant decreases in blood pressure in rats at .ltoreq.10 and .ltoreq.100 mg/kg i.v. and orally, resp.

IT **114773-81-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive activity of)

RN 114773-81-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)

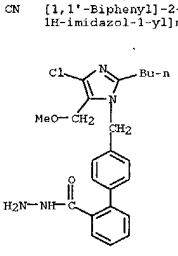
L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

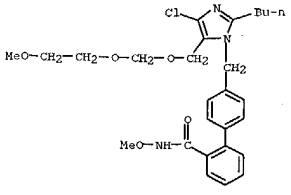
IT **114772-77-9P 114772-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antihypertensive agents)

RN 114772-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



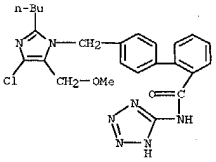
RN 114772-85-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-[[(2-methoxyethoxy)methoxy]methyl]-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



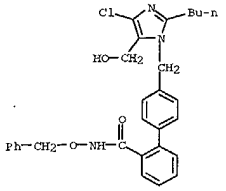
IT **114799-33-6P 114799-41-6P 114799-42-7P**
**114822-96-7P 124750-05-6P 124751-02-6P**
**124751-03-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antihypertensive agent)

RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

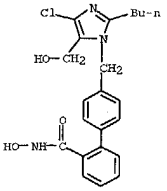


RN 114799-41-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

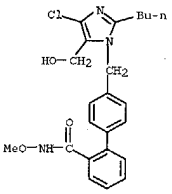


RN 114799-42-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

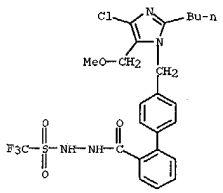


RN 114822-96-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

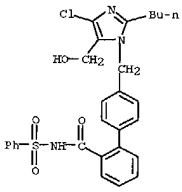
L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 124750-05-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, 2-[(trifluoromethyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

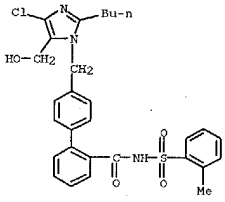


RN 124751-02-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124751-03-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



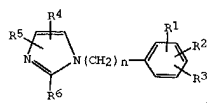
L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:529008 CAPLUS
DOCUMENT NUMBER: 109:129008
TITLE: Preparation of angiotensin II receptor-blocking (phenylalkyl)imidazoles
INVENTOR(S): Carini, David John; Duncia, John Jonas Vytautas
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: Eur. Pat. Appl., 314 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 253310 | A2 | 19880120 | EP 1987-109919 | 19870709 |
| EP 253310 | A3 | 19900829 | | |
| EP 253310 | B1 | 19941026 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| CA 1334092 | A1 | 19950124 | CA 1987-540399 | 19870623 |
| NO 8702863 | A | 19880112 | NO 1987-2863 | 19870709 |
| NO 176049 | B | 19941017 | | |
| NO 176049 | C | 19950125 | | |
| ES 2063734 | T3 | 19950116 | ES 1987-109919 | 19870709 |
| DK 8703596 | A | 19880112 | DK 1987-3596 | 19870710 |
| FI 8703071 | A | 19880112 | FI 1987-3071 | 19870710 |
| FI 96025 | B | 19960115 | | |
| FI 96025 | C | 19960425 | | |
| AU 8775596 | A1 | 19880121 | AU 1987-75596 | 19870710 |
| AU 599396 | B2 | 19900719 | | |
| JP 63023868 | A2 | 19880201 | JP 1987-171328 | 19870710 |
| JP 05029351 | B4 | 19930430 | | |
| HU 45976 | A2 | 19880928 | HU 1987-3174 | 19870710 |
| ZA 8705052 | A | 19890329 | ZA 1987-5052 | 19870710 |
| SU 1694062 | A3 | 19911123 | SU 1987-4203085 | 19870710 |
| IL 83153 | A1 | 19911215 | IL 1987-83153 | 19870710 |
| HU 218461 | B | 20000828 | HU 1975-99020 | 19870710 |
| US 5128355 | A | 19920707 | US 1989-435969 | 19891113 |
| US 5153197 | A | 19921006 | US 1989-436165 | 19891113 |
| US 5155118 | A | 19921013 | US 1989-436281 | 19891113 |

PRIORITY APPLN. INFO.: US 1986-884920 A 19860711
US 1987-50341 A 19870522
HU 1987-3174 A 19870710
US 1988-142580 B2 19880107
US 1988-279194 A3 19881206

OTHER SOURCE(S): MARPAT 109:129008
GI

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



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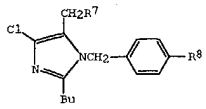
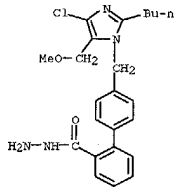


II

AB The title compd. [I; R1 = tetrazol-5-yl, 1,2,3-triazol-4-yl, (HO)2S(O)O, (HO)2P(O)O, HPO3, substituted NH2, alkyl, PhCH2, (un)substituted PhCH2CH2, PhCH:CH, (un)modified CO2H, SO3H, etc.; R2 = H, C1-4 alkyl, C1-4 alkoxy, C1-4 acyloxy, MeSO2NH, CF3SO2NH, aryl, furyl, tetrazol-5-yl, Br, Cl, F, iodo, NO2, (un)modified CO2H; R3 = H, C1-4 alkyl, C1-4 alkoxy, Br, Cl, F, iodo; R4 = H, CF3, cyano, Br, Cl, F, iodo; R5 = H, cyano, (un)substituted alkyl, alkenyl; n = 0-2] and their pharmaceutically acceptable salts were prepd. as angiotensin II receptor-blocking agents, useful as antihypertensives. 2-Butyl-5-chloro-1H-imidazole-4-methanol was treated with NaOMe in MeOH, and N-alkylated with 4-BrCH2C6H4CN to give benzylimidazolemethanol II (R7 = OH, R8 = cyano). This was chlorinated with SOCl2 and treated with NaCN to give II (R7 = R8 = cyano). The latter was refluxed 6 h in 1:1 12N HCl/HOAc to give II (R7 = R8 = CO2H) (III). III inhibited angiotensin II binding in rat adrenal cortical microsomes with an IC50 of 1.80 .mu.M and was active in reducing blood pressure in rats at 10 mg/kg i.v.

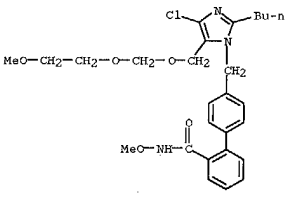
IT **114772-77-9P 114772-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antihypertensives)

RN 114772-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



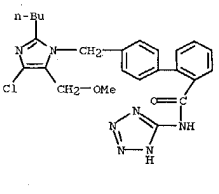
RN 114772-85-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-[[(2-methoxyethoxy)methoxy]methyl]-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI)

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
(CA INDEX NAME)



IT **114773-81-8P 114799-33-6P 114799-41-6P 114799-42-7P 114822-96-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

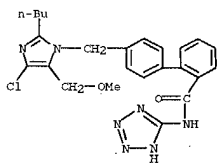
RN 114773-81-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



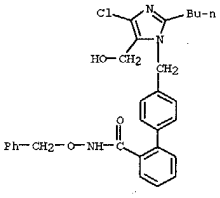
● Na

RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

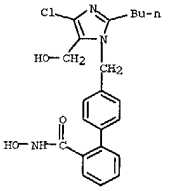
L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 114799-41-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

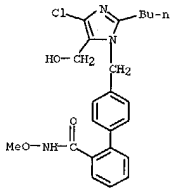


RN 114799-42-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 114822-96-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 150.52 | 299.09 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -21.48 | -21.48 |

STN INTERNATIONAL LOGOFF AT 15:16:06 ON 13 JUN 2003